

10/540,616K Yong Chu 5-7-2007

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NEWS 6 JAN 22 CA/CAplus updated with revised CAS roles

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NEWS 8 JAN 29 PHAR reloaded with new search and display fields

NEWS 9 JAN 29 CAS Registry Number crossover limit increased to 300,000 in multiple databases

NEWS 10 FEB 15 PATDPASPC enhanced with Drug Approval numbers

NEWS 11 FEB 15 RUSSIAPAT enhanced with pre-1994 records

NEWS 12 FEB 23 KOREAPAT enhanced with IPC 8 features and functionality

NEWS 13 FEB 26 MEDLINE reloaded with enhancements

NEWS 14 FEB 26 EMBASE enhanced with Clinical Trial Number field

NEWS 15 FEB 26 TOXCENTER enhanced with reloaded MEDLINE

NEWS 16 FEB 26 IFICDB/IFIPAT/IFIUDB reloaded with enhancements

NEWS 17 FEB 26 CAS Registry Number crossover limit increased from 10,000 to 300,000 in multiple databases

NEWS 18 MAR 15 WPIDS/WPIX enhanced with new FRAGHITSTR display format

NEWS 19 MAR 16 CASREACT coverage extended

NEWS 20 MAR 20 MARPAT now updated daily

NEWS 21 MAR 22 LWPI reloaded

NEWS 22 MAR 30 RDISCLOSURE reloaded with enhancements

NEWS 23 APR 02 JICST-EPLUS removed from database clusters and STN

NEWS 24 APR 30 GENBANK reloaded and enhanced with Genome Project ID field

NEWS 25 APR 30 CHEMCATS enhanced with 1.2 million new records

NEWS 26 APR 30 CA/CAplus enhanced with 1870-1889 U.S. patent records

NEWS 27 APR 30 INPADOC replaced by INPADOCDB on STN

NEWS 28 MAY 01 New CAS web site launched

NEWS EXPRESS NOVEMBER 10 CURRENT WINDOWS VERSION IS V8.01c, CURRENT MACINTOSH VERSION IS V6.0c(ENG) AND V6.0Jc(JP), AND CURRENT DISCOVER FILE IS DATED 25 SEPTEMBER 2006.

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STRUCTURE FILE UPDATES: 6 MAY 2007 **HIGHEST RN** 934336-20-6
DICTIONARY FILE UPDATES: 6 MAY 2007 **HIGHEST RN** 934336-20-6

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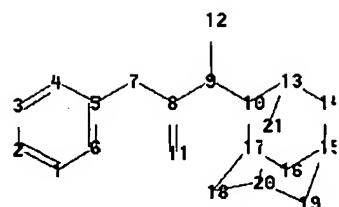
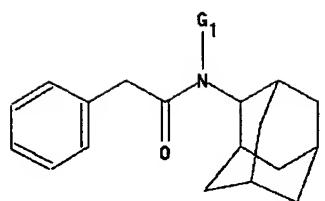
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chain nodes :

7 8 9 11 12

ring nodes :

1 2 3 4 5 6 10 13 14 15 16 17 18 19 20 21

chain bonds :

5-7 7-8 8-9 8-11 9-10 9-12

ring bonds :

1-2 1-6 2-3 3-4 4-5 5-6 10-13 10-17 13-14 13-21 14-15 15-16 15-19 16-17

17-18 18-20 19-20 20-21

exact/norm bonds :

8-9 8-11 9-10 9-12 10-13 10-17 13-14 13-21 14-15 15-16 15-19 16-17 17-18

18-20 19-20 20-21

exact bonds :

5-7 7-8

normalized bonds :

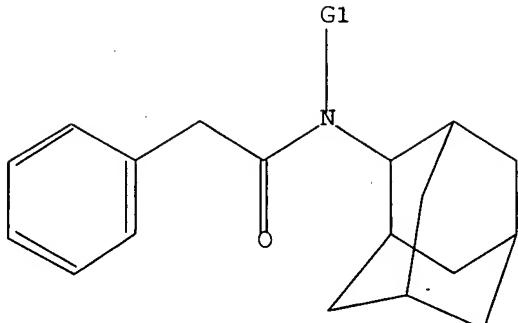
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G1:H,CH

Match level :

1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:CLASS 8:CLASS 9:CLASS 10:Atom
 11:CLASS 12:CLASS 13:Atom 14:Atom 15:Atom 16:Atom 17:Atom 18:Atom 19:Atom
 20:Atom 21:Atom

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L1 HAS NO ANSWERS
L1 STR



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Structure attributes must be viewed using STN Express query preparation.

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SAMPLE SEARCH INITIATED 09:53:16 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED - 527 TO ITERATE

100.0% PROCESSED 527 ITERATIONS 3 ANSWERS
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE **COMPLETE**
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PROJECTED ITERATIONS: 9163 TO 11917
PROJECTED ANSWERS: 3 TO 163

L2 3 SEA SSS SAM L1

=> s l1 full
FULL SEARCH INITIATED 09:53:20 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED - 10289 TO ITERATE

100.0% PROCESSED 10289 ITERATIONS 118 ANSWERS
SEARCH TIME: 00.00.01

L3 118 SEA SSS FUL L1

=> file caplus
COST IN U.S. DOLLARS SINCE FILE TOTAL
ENTRY SESSION
FULL ESTIMATED COST 172.10 172.31

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FILE COVERS 1907 - 7 May 2007 VOL 146 ISS 20
FILE LAST UPDATED: 6 May 2007 (20070506/ED)

Effective October 17, 2005, revised CAS Information Use Policies apply.
They are available for your review at:

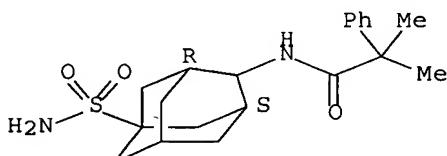
<http://www.cas.org/infopolicy.html>

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L4 17 L3

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L4 ANSWER 1 OF 17 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2007:79600 CAPLUS Full-text
DOCUMENT NUMBER: 146:220145
TITLE: Adamantane sulfone and sulfonamide 11-.beta.-HSD1 Inhibitors
AUTHOR(S): Sorensen, Bryan; Winn, Martin; Rohde, Jeff; Shuai, Qi; Wang, Jiahong; Fung, Steven; Monzon, Katina; Chiou, William; Stolarik, DeAnne; Imade, Hovis; Pan, Liping; Deng, Xiaoqing; Chovan, Linda; Longenecker, Kenton; Judge, Russell; Qin, Wenying; Brune, Michael; Camp, Heidi; Frevert, Ernst U.; Jacobson, Peer; Link, J. T. Abbott, Abbott Park, IL, 60064-6098, USA
CORPORATE SOURCE:
SOURCE: Bioorganic & Medicinal Chemistry Letters (2007), 17(2), 527-532
CODEN: BMCLE8; ISSN: 0960-894X
PUBLISHER: Elsevier Ltd.
DOCUMENT TYPE: Journal
LANGUAGE: English
AB Potent and selective adamantane sulfone and sulfonamide inhibitors of 11-.beta.-HSD-1 have been discovered. Selected compds. from these series have robust pharmacokinetic profiles and strongly inhibit liver, fat, and brain HSD1 for extended periods after oral dosing.
IT 924298-69-1P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(adamantane sulfone and sulfonamide 11-.beta.-HSD1 Inhibitors)
RN 924298-69-1 CAPLUS
CN Benzeneacetamide, N-[(1R,3S)-5-(aminosulfonyl)tricyclo[3.3.1.13,7]dec-2-yl]-.alpha.,.alpha.-dimethyl-, rel- (CA INDEX NAME)

Relative stereochemistry.



REFERENCE COUNT: 18 THERE ARE 18 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 2 OF 17 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2006:657359 CAPLUS Full-text
DOCUMENT NUMBER: 145:110213
TITLE: Metabolic stabilization of substituted adamantane
INVENTOR(S): Rohde, Jeffrey J.; Pan, Liping; Pliushchev, Marina;
Link, James T.
PATENT ASSIGNEE(S): USA
SOURCE: U.S. Pat. Appl. Publ., 11 pp.
CODEN: USXXCO
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|------------------------|------|----------|-----------------|------------|
| US 2006148871 | A1 | 20060706 | US 2006-325956 | 20060105 |
| PRIORITY APPLN. INFO.: | | | US 2005-641676P | P 20050105 |

OTHER SOURCE(S): MARPAT 145:110213

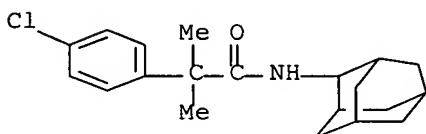
AB The present invention is directed to the method of increasing the metabolic stability of adamantanamine contg. compds. that are inhibitors of the 11-beta-hydroxysteroid dehydrogenase Type 1 (11-beta-HSD-1) enzyme. The stability is achieved by substitutions of the adamantanamine ring. For example, soln. of 2-adamantanamine hydrochloride 38 mg, 2-phenylisobutyric acid 30 mg, , and O-benzotriazol-1-yl-N,N,N',N'-tetramethyluronium tetrafluoroborate 65 mg in N,N-dimethylacetamide 2 mL and DIEA 80 .mu.L, was stirred for 16 h at 23 OC to get N-2-adamantyl-2-methyl-2- phenylpropanamide.

IT 717889-77-5P 717889-79-7P

RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(metabolic stabilization of substituted adamantanamine)

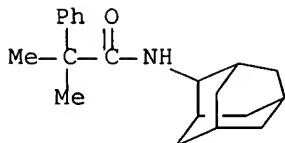
RN 717889-77-5 CAPLUS

CN Benzeneacetamide, 4-chloro-.alpha.,.alpha.-dimethyl-N-tricyclo[3.3.1.13,7]dec-2-yl- (9CI) (CA INDEX NAME)



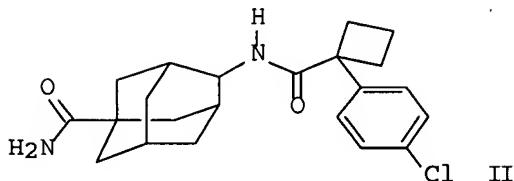
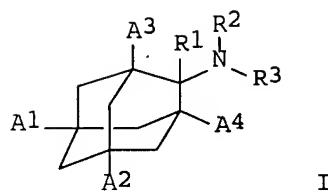
RN 717889-79-7 CAPLUS

CN Benzeneacetamide, .alpha.,.alpha.-dimethyl-N-tricyclo[3.3.1.13,7]dec-2-yl- (9CI) (CA INDEX NAME)



L4 ANSWER 3 OF 17 CAPLUS COPYRIGHT 2007 ACS on STN
 ACCESSION NUMBER: 2006:657188 CAPLUS Full-text
 DOCUMENT NUMBER: 145:124215
 TITLE: Preparation of N-adamantane carboxamide derivatives as inhibitors of the 11-beta-hydroxysteroid dehydrogenase type 1 enzyme
 INVENTOR(S): Rohde, Jeffrey J.; Shuai, Qi; Link, James T.; Patel, Jyoti R.; Dinges, Jurgen; Sorensen, Bryan K.; Yong, Hong; Yeh, Vince S.; Kurukulasuriya, Ravi
 PATENT ASSIGNEE(S): USA
 SOURCE: U.S. Pat. Appl. Publ., 58 pp.
 CODEN: USXXCO
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|-------------------|----------|-----------------|------------|
| US 2006149070 | A1 | 20060706 | US 2006-326277 | 20060105 |
| WO 2006074330 | A2 | 20060713 | WO 2006-US402 | 20060105 |
| WO 2006074330 | A3 | 20070125 | | |
| W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH,
CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD,
GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR,
KZ, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX,
MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE,
SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC,
VN, YU, ZA, ZM, ZW | | | | |
| RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE,
IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ,
CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH,
GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY,
KG, KZ, MD, RU, TJ, TM | | | | |
| PRIORITY APPLN. INFO.: | | | US 2005-641496P | P 20050105 |
| | | | US 2006-326277 | A 20060105 |
| OTHER SOURCE(S): | MARPAT 145:124215 | | | |
| GI | | | | |



AB Title compds. I [A1-4 one of which = alkyl-NH-alkyl, alkylcarbonyl, cycloalkyl, etc. with the remaining of A = H, alkyl, aryl, etc.; R1 = H or alkyl; R2 = H, alkyl or cycloalkyl; R3 = substituted acetyl with CO attached directly to N forming amide bond], and their pharmaceutically acceptable salts, are prepd. and disclosed as inhibitors of the 11-beta-hydroxysteroid dehydrogenase Type 1 enzyme. Thus, e.g., II was prepd. by amination of the corresponding acid (prepn. given). The present invention further relates to the use of inhibitors of 11-beta-hydroxysteroid dehydrogenase Type 1 enzyme for the treatment of non-insulin dependent type 2 diabetes, insulin resistance, obesity, lipid disorders, metabolic syndrome and other diseases and conditions that are mediated by excessive glucocorticoid action. In assays for inhibition of 11-beta-hydroxysteroid dehydrogenase Type 1 enzyme, I demonstrated IC₅₀ values ranging from 16-104 nM.

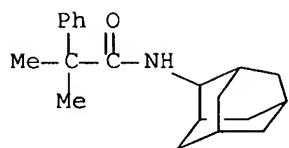
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 897395-58-3P 897395-59-4P 897395-60-7P
 897395-62-9P 897395-65-2P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. of N-adamantane carboxamide derivs. as inhibitors of the 11-beta-hydroxysteroid dehydrogenase type 1 enzyme)

RN 717889-79-7 CAPLUS

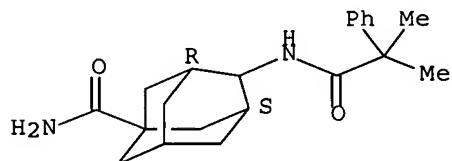
CN Benzeneacetamide, .alpha.,.alpha.-dimethyl-N-tricyclo[3.3.1.13,7]dec-2-yl- (9CI) (CA INDEX NAME)



RN 897394-74-0 CAPLUS

CN Tricyclo[3.3.1.13,7]decane-1-carboxamide, 4-[(2-methyl-1-oxo-2-phenylpropyl)amino]-, stereoisomer (9CI) (CA INDEX NAME)

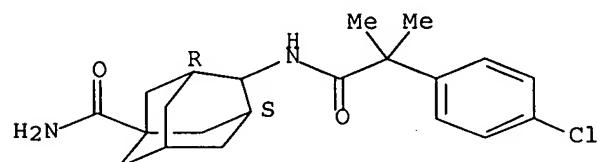
Relative stereochemistry.



RN 897394-78-4 CAPLUS

CN Tricyclo[3.3.1.13,7]decane-1-carboxamide, 4-[[2-(4-chlorophenyl)-2-methyl-1-oxopropyl]amino]-, stereoisomer (9CI) (CA INDEX NAME)

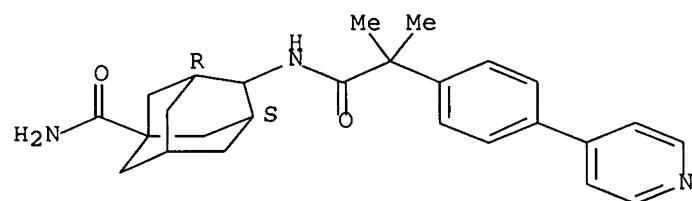
Relative stereochemistry.



RN 897394-88-6 CAPLUS

CN Tricyclo[3.3.1.13,7]decane-1-carboxamide, 4-[[2-methyl-1-oxo-2-[4-(4-pyridinyl)phenyl]propyl]amino]-, stereoisomer (9CI) (CA INDEX NAME)

Relative stereochemistry.

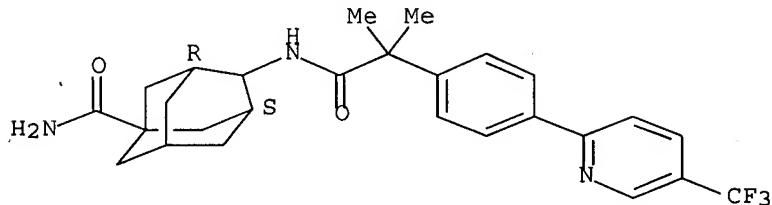


RN 897394-92-2 CAPLUS

CN Tricyclo[3.3.1.13,7]decane-1-carboxamide, 4-[[2-methyl-1-oxo-2-[4-[5-

(trifluoromethyl)-2-pyridinylphenylpropylamino]-, stereoisomer (9CI)
(CA INDEX NAME)

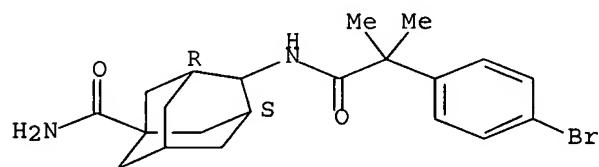
Relative stereochemistry.



RN 897394-94-4 CAPLUS

CN Tricyclo[3.3.1.13,7]decane-1-carboxamide, 4-[[2-(4-bromophenyl)-2-methyl-1-oxopropyl]amino]-, stereoisomer (9CI) (CA INDEX NAME)

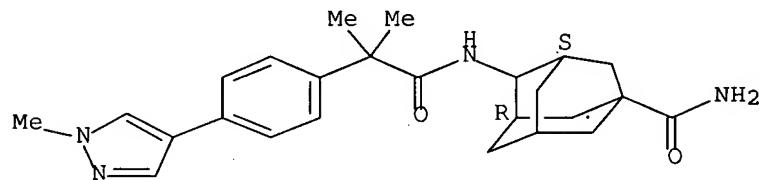
Relative stereochemistry.



RN 897395-00-5 CAPLUS

CN Tricyclo[3.3.1.13,7]decane-1-carboxamide, 4-[[2-methyl-2-[4-(1-methyl-1H-pyrazol-4-yl)phenyl]-1-oxopropyl]amino]-, stereoisomer (9CI) (CA INDEX NAME)

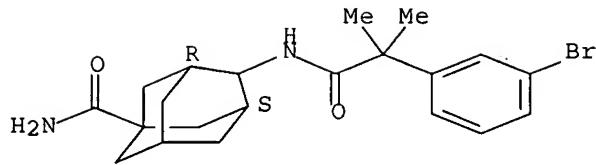
Relative stereochemistry.



RN 897395-01-6 CAPLUS

CN Tricyclo[3.3.1.13,7]decane-1-carboxamide, 4-[[2-(3-bromophenyl)-2-methyl-1-oxopropyl]amino]-, stereoisomer (9CI) (CA INDEX NAME)

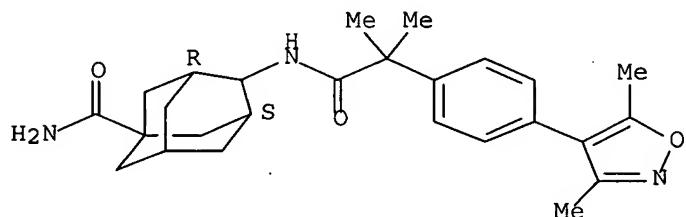
Relative stereochemistry.



RN 897395-02-7 CAPLUS

CN Tricyclo[3.3.1.13,7]decane-1-carboxamide, 4-[[2-[4-(3,5-dimethyl-4-isoxazolyl)phenyl]-2-methyl-1-oxopropyl]amino]-, stereoisomer (9CI) (CA INDEX NAME)

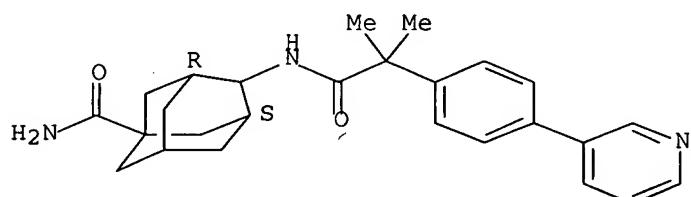
Relative stereochemistry.



RN 897395-03-8 CAPLUS

CN Tricyclo[3.3.1.13,7]decane-1-carboxamide, 4-[[2-methyl-1-oxo-2-[4-(3-pyridinyl)phenyl]propyl]amino]-, stereoisomer (9CI) (CA INDEX NAME)

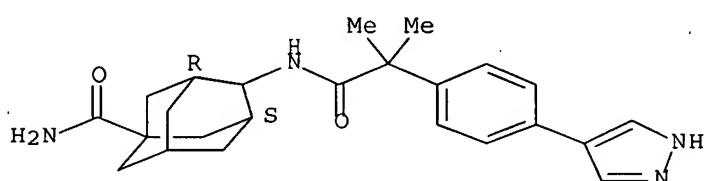
Relative stereochemistry.



RN 897395-05-0 CAPLUS

CN Tricyclo[3.3.1.13,7]decane-1-carboxamide, 4-[[2-methyl-1-oxo-2-[4-(1H-pyrazol-4-yl)phenyl]propyl]amino]-, stereoisomer (9CI) (CA INDEX NAME)

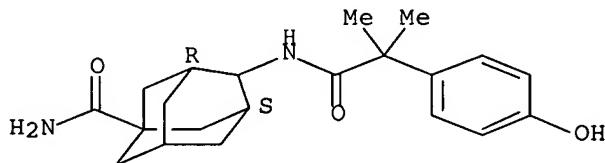
Relative stereochemistry.



RN 897395-10-7 CAPLUS

CN Tricyclo[3.3.1.13,7]decane-1-carboxamide, 4-[[2-(4-hydroxyphenyl)-2-methyl-1-oxopropyl]amino]-, stereoisomer (9CI) (CA INDEX NAME)

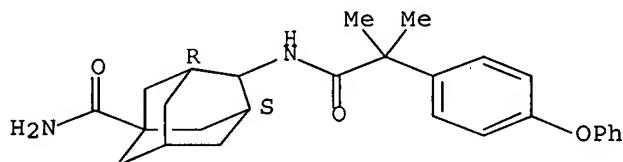
Relative stereochemistry.



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CN Tricyclo[3.3.1.13,7]decane-1-carboxamide, 4-[[2-methyl-1-oxo-2-(4-phenoxyphenyl)propyl]amino]-, stereoisomer (9CI) (CA INDEX NAME)

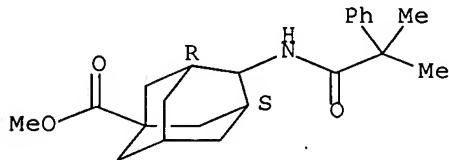
Relative stereochemistry.



RN 897395-18-5 CAPLUS

CN Tricyclo[3.3.1.13,7]decane-1-carboxylic acid, 4-[(2-methyl-1-oxo-2-phenylpropyl)amino]-, methyl ester, stereoisomer (9CI) (CA INDEX NAME)

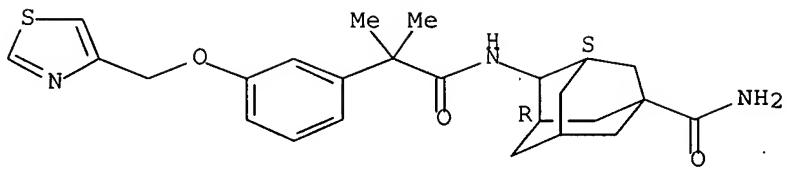
Relative stereochemistry.



RN 897395-19-6 CAPLUS

CN Tricyclo[3.3.1.13,7]decane-1-carboxamide, 4-[[2-methyl-1-oxo-2-[3-(4-thiazolylmethoxy)phenyl]propyl]amino]-, stereoisomer (9CI) (CA INDEX NAME)

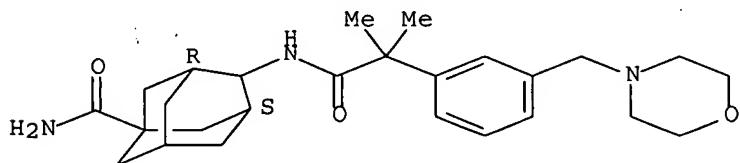
Relative stereochemistry.



RN 897395-21-0 CAPLUS

CN Tricyclo[3.3.1.13,7]decane-1-carboxamide, 4-[[2-methyl-2-[3-(4-morpholinylmethyl)phenyl]-1-oxopropyl]amino]-, stereoisomer (9CI) (CA INDEX NAME)

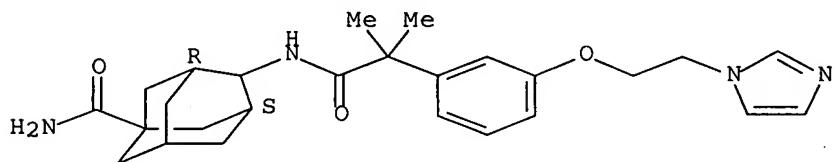
Relative stereochemistry.



RN 897395-23-2 CAPLUS

CN Tricyclo[3.3.1.13,7]decane-1-carboxamide, 4-[[2-[3-[2-(1H-imidazol-1-yl)ethoxy]phenyl]-2-methyl-1-oxopropyl]amino]-, stereoisomer (9CI) (CA INDEX NAME)

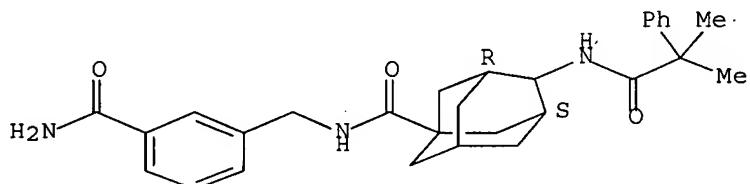
Relative stereochemistry.



RN 897395-26-5 CAPLUS

CN Tricyclo[3.3.1.13,7]decane-1-carboxamide, N-[[3-(aminocarbonyl)phenyl]methyl]-4-[(2-methyl-1-oxo-2-phenylpropyl)amino]-, stereoisomer (9CI) (CA INDEX NAME)

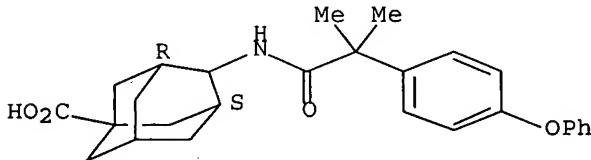
Relative stereochemistry.



RN 897395-29-8 CAPLUS

CN Tricyclo[3.3.1.13,7]decane-1-carboxylic acid, 4-[[2-methyl-1-oxo-2-(4-phenoxyphenyl)propyl]amino]-, stereoisomer (9CI) (CA INDEX NAME)

Relative stereochemistry.

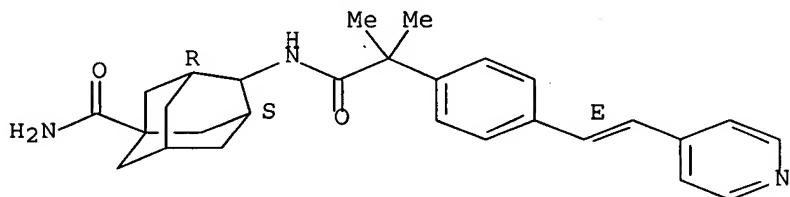


RN 897395-37-8 CAPLUS

CN Tricyclo[3.3.1.13,7]decane-1-carboxamide, 4-[[2-methyl-1-oxo-2-[4-[2-(4-pyridinyl)ethenyl]phenyl]propyl]amino]-, stereoisomer (9CI) (CA INDEX NAME)

Relative stereochemistry.

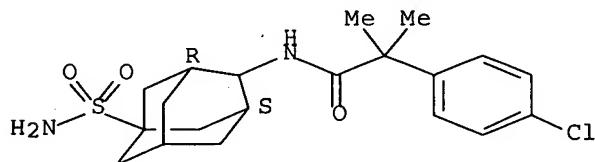
Double bond geometry as shown.



RN 897395-38-9 CAPLUS

CN Benzeneacetamide, N-[5-(aminosulfonyl)tricyclo[3.3.1.13,7]dec-2-yl]-4-chloro-.alpha.,.alpha.-dimethyl-, stereoisomer (9CI) (CA INDEX NAME)

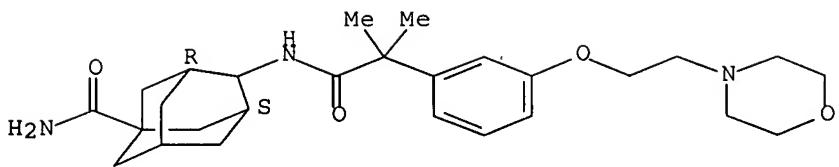
Relative stereochemistry.



RN 897395-39-0 CAPLUS

CN Tricyclo[3.3.1.13,7]decane-1-carboxamide, 4-[[2-methyl-2-[3-[2-(4-morpholinyl)ethoxy]phenyl]-1-oxopropyl]amino]-, stereoisomer (9CI) (CA INDEX NAME)

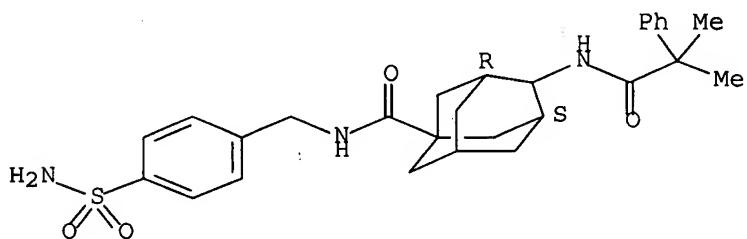
Relative stereochemistry.



RN 897395-43-6 CAPLUS

CN Tricyclo[3.3.1.13,7]decane-1-carboxamide, N-[(4-(aminosulfonyl)phenyl)methyl]-4-[(2-methyl-1-oxo-2-phenylpropyl)amino]-, stereoisomer (9CI) (CA INDEX NAME)

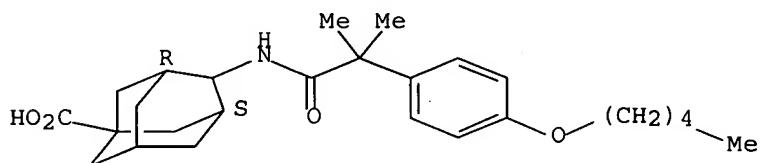
Relative stereochemistry.



RN 897395-44-7 CAPLUS

CN Tricyclo[3.3.1.13,7]decane-1-carboxylic acid, 4-[[2-methyl-1-oxo-2-[4-(pentyloxy)phenyl]propyl]amino]-, stereoisomer (9CI) (CA INDEX NAME)

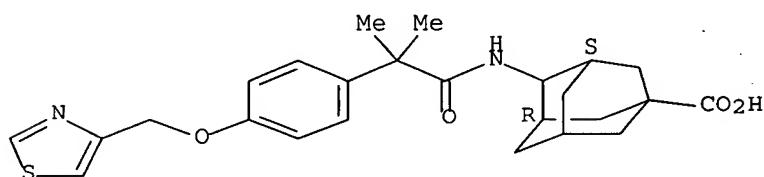
Relative stereochemistry.



RN 897395-45-8 CAPLUS

CN Tricyclo[3.3.1.13,7]decane-1-carboxylic acid, 4-[[2-methyl-1-oxo-2-[4-(4-thiazolylmethoxy)phenyl]propyl]amino]-, stereoisomer (9CI) (CA INDEX NAME)

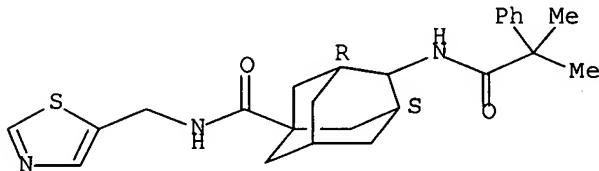
Relative stereochemistry.



RN 897395-46-9 CAPLUS

CN Tricyclo[3.3.1.13,7]decane-1-carboxamide, 4-[(2-methyl-1-oxo-2-phenylpropyl)amino]-N-(5-thiazolylmethyl)-, stereoisomer (9CI) (CA INDEX NAME)

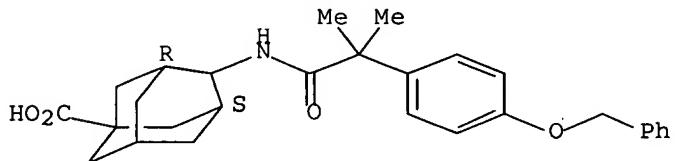
Relative stereochemistry.



RN 897395-47-0 CAPLUS

CN Tricyclo[3.3.1.13,7]decane-1-carboxylic acid, 4-[[2-methyl-1-oxo-2-[4-(phenylmethoxy)phenyl]propyl]amino]-, stereoisomer (9CI) (CA INDEX NAME)

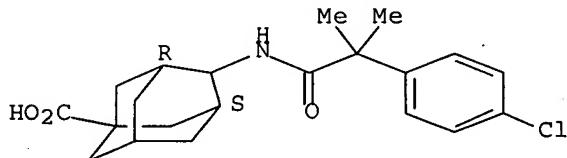
Relative stereochemistry.



RN 897395-49-2 CAPLUS

CN Tricyclo[3.3.1.13,7]decane-1-carboxylic acid, 4-[[2-(4-chlorophenyl)-2-methyl-1-oxopropyl]amino]-, stereoisomer (9CI) (CA INDEX NAME)

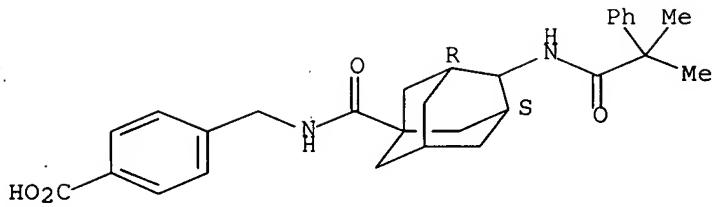
Relative stereochemistry.



RN 897395-51-6 CAPLUS

CN Benzoic acid, 4-[[[4-[(2-methyl-1-oxo-2-phenylpropyl)amino]tricyclo[3.3.1.13,7]dec-1-yl]carbonyl]amino]methyl-, stereoisomer (9CI) (CA INDEX NAME)

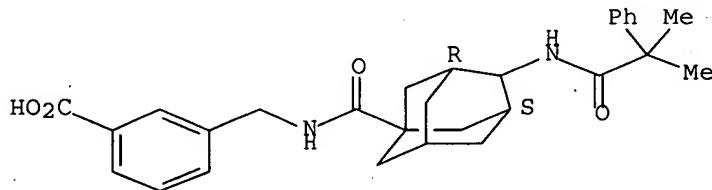
Relative stereochemistry.



RN 897395-52-7 CAPLUS

CN Benzoic acid, 3-[[[[4-[(2-methyl-1-oxo-2-phenylpropyl)amino]tricyclo[3.3.1.13,7]dec-1-yl]carbonyl]amino]methyl]-, stereoisomer (9CI) (CA INDEX NAME)

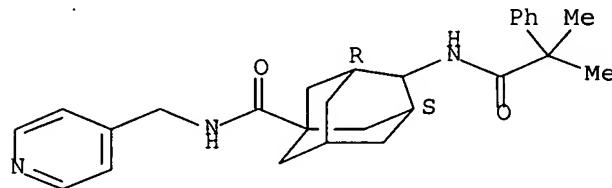
Relative stereochemistry.



RN 897395-54-9 CAPLUS

CN Tricyclo[3.3.1.13,7]decane-1-carboxamide, 4-[(2-methyl-1-oxo-2-phenylpropyl)amino]-N-(4-pyridinylmethyl)-, stereoisomer (9CI) (CA INDEX NAME)

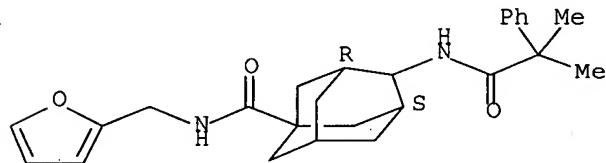
Relative stereochemistry.



RN 897395-56-1 CAPLUS

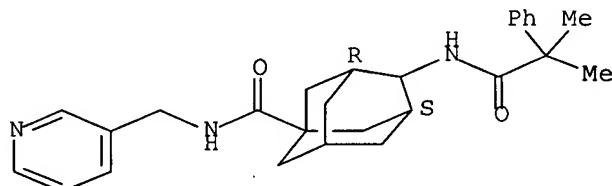
CN Tricyclo[3.3.1.13,7]decane-1-carboxamide, N-(2-furanyl methyl)-4-[(2-methyl-1-oxo-2-phenylpropyl)amino]-, stereoisomer (9CI) (CA INDEX NAME)

Relative stereochemistry.



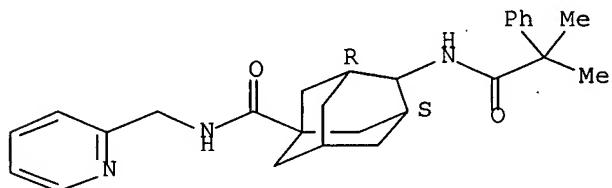
RN 897395-57-2 CAPLUS
CN Tricyclo[3.3.1.13,7]decane-1-carboxamide, 4-[(2-methyl-1-oxo-2-phenylpropyl)amino]-N-(3-pyridinylmethyl)-, stereoisomer (9CI) (CA INDEX NAME)

Relative stereochemistry.



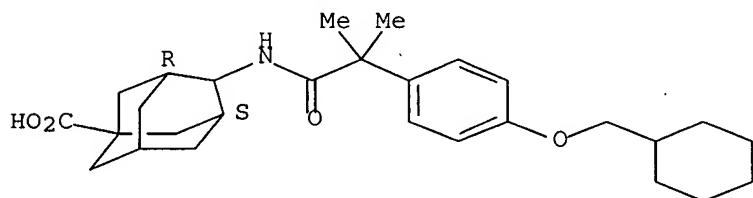
RN 897395-58-3 CAPLUS
CN Tricyclo[3.3.1.13,7]decane-1-carboxamide, 4-[(2-methyl-1-oxo-2-phenylpropyl)amino]-N-(2-pyridinylmethyl)-, stereoisomer (9CI) (CA INDEX NAME)

Relative stereochemistry.



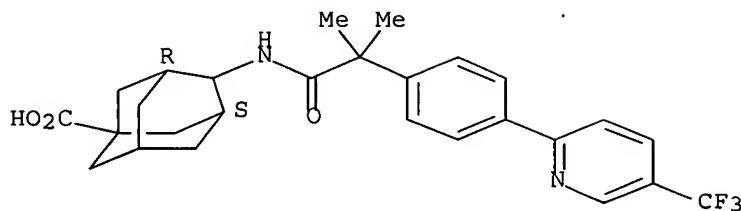
RN 897395-59-4 CAPLUS
CN Tricyclo[3.3.1.13,7]decane-1-carboxylic acid, 4-[[2-[4-(cyclohexylmethoxy)phenyl]-2-methyl-1-oxopropyl]amino]-, stereoisomer (9CI) (CA INDEX NAME)

Relative stereochemistry.



RN 897395-60-7 CAPLUS
CN Tricyclo[3.3.1.13,7]decane-1-carboxylic acid, 4-[[2-methyl-1-oxo-2-[4-(trifluoromethyl)-2-pyridinyl]phenyl]propyl]amino]-, stereoisomer (9CI) (CA INDEX NAME)

Relative stereochemistry.



RN 897395-62-9 CAPLUS

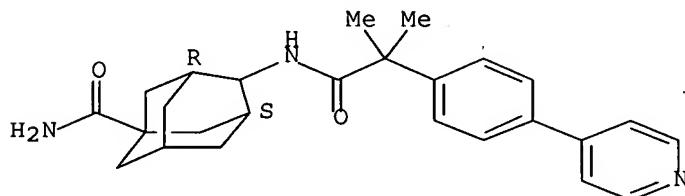
CN Tricyclo[3.3.1.13,7]decane-1-carboxamide, 4-[[2-methyl-1-oxo-2-[4-(4-pyridinyl)phenyl]propyl]amino]-, (3R,5S)-rel-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

CRN 897394-88-6

CMF C26 H31 N3 O2

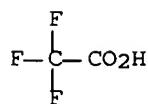
Relative stereochemistry.



CM 2

CRN 76-05-1

CMF C2 H F3 O2



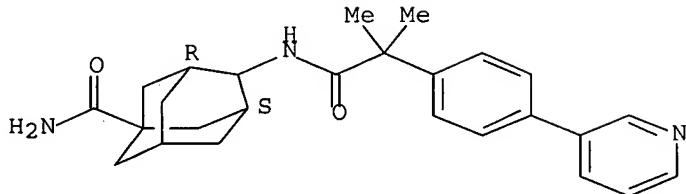
RN 897395-65-2 CAPLUS

CN Tricyclo[3.3.1.13,7]decane-1-carboxamide, 4-[[2-methyl-1-oxo-2-[4-(3-pyridinyl)phenyl]propyl]amino]-, (3R,5S)-rel-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

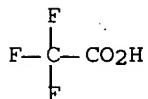
CRN 897395-03-8
CMF C26 H31 N3 O2

Relative stereochemistry.



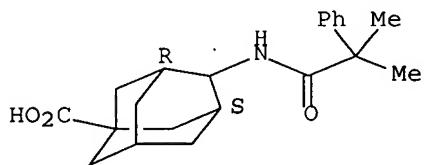
CM 2

CRN 76-05-1
CMF C2 H F3 O2



IT 897394-71-7P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. of N-adamantane carboxamide derivs. as inhibitors of the 11-beta-hydroxysteroid dehydrogenase type 1 enzyme)
RN 897394-71-7 CAPLUS
CN Tricyclo[3.3.1.13,7]decane-1-carboxylic acid, 4-[(2-methyl-1-oxo-2-phenylpropyl)amino]-, stereoisomer (9CI) (CA INDEX NAME)

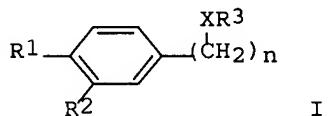
Relative stereochemistry.



L4 ANSWER 4 OF 17 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2006:240654 CAPLUS Full-text
DOCUMENT NUMBER: 145:174227
TITLE: Application of vanilloid receptor agonist to prepare anti-Alzheimer's medical products
INVENTOR(S): Chen, Chunlin; Mao, Chen; Zhang, Jintao
PATENT ASSIGNEE(S): Shanghai Medicilon Inc., Peop. Rep. China

SOURCE: Faming Zhuanli Shenqing Gongkai Shuomingshu, 47 pp.
 CODEN: CNXXEV
 DOCUMENT TYPE: Patent
 LANGUAGE: Chinese
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|------------------------|-------------------|----------|------------------|----------|
| CN 1736485 | A | 20060222 | CN 2005-10027292 | 20050629 |
| PRIORITY APPLN. INFO.: | | | CN 2005-10027292 | 20050629 |
| OTHER SOURCE(S): | MARPAT 145:174227 | | | |
| GI | | | | |



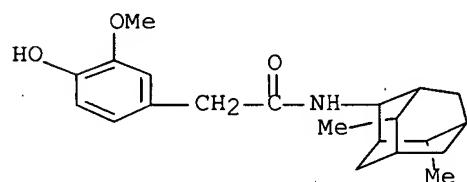
AB The medical application of vanilloid receptor agonist for prevention, diagnosis, detection, treatment, and research of Alzheimer's disease and its assocd. diseases is presented. The vanilloid receptor agonist is vanillin or its deriv. with the structure I where R1 = OH, alkyl, alkoxy, acyloxy, aminoalkoxy, H, NH2, or halo; R2 = alkoxy, H, OH, NH2, alkyl, aliph. amino, arom. amino, aminoalkoxy, or acyloxy; R3 = C5-23 alkyl, alkenyl, diterpenyl, Ph, adamantyl, C5-23 piperazinyl, or their substituted deriv.; n = 0-2; and X = NHCO, CONH, COO, NHCOO, NHCONH, NHCSNH, or NH(O)S(O) and/or capsaicin analogs without 4-hydroxy- 3-methoxybenzylvanillyl but contg. phenolic OH and three assumed binding sites (vanillyl, amido, and aliph. chain). The drug delivery systems (powder injection, injection, large-capacity injection, tablet, and capsule) of the vanilloid vector agonist were prep'd.

IT 900150-25-6P 900150-58-5P
 RL: DGN (Diagnostic use); FFD (Food or feed use); PAC (Pharmacological activity); PKT (Pharmacokinetics); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(application of vanilloid receptor agonist to prep. anti-Alzheimer's medical products)

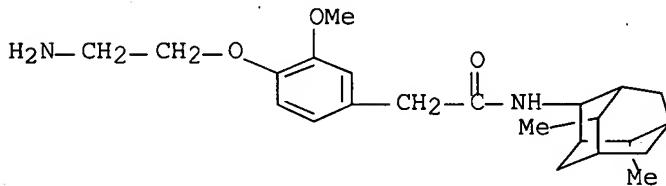
RN 900150-25-6 CAPLUS

CN Benzeneacetamide, N-(4,8-dimethyltricyclo[3.3.1.13,7]dec-2-yl)-4-hydroxy-3-methoxy- (9CI) (CA INDEX NAME)



RN 900150-58-5 CAPLUS

CN Benzeneacetamide, 4-(2-aminoethoxy)-N-(4,8-dimethyltricyclo[3.3.1.13,7]dec-2-yl)-3-methoxy-, monohydrochloride (9CI) (CA INDEX NAME)



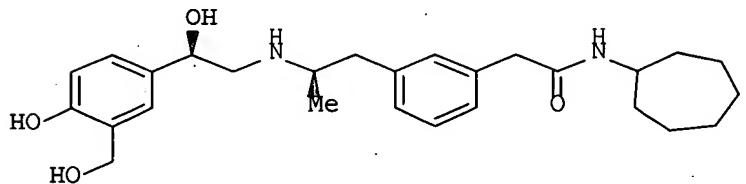
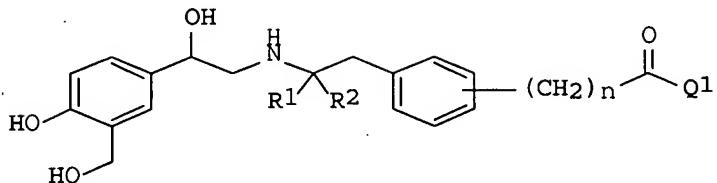
• HCl

L4 ANSWER 5 OF 17 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2005:1042205 CAPLUS Full-text
DOCUMENT NUMBER: 143:346908
TITLE: Preparation of phenol derivatives as .beta.2 androgen receptor agonists
INVENTOR(S): Brown, Alan Daniel; Bunnage, Mark Edward; Glossop, Paul Alan; James, Kim; Lane, Charlotte Alice Louise; Lewthwaite, Russell Andrew; Lunn, Graham; Price, David Anthony
PATENT ASSIGNEE(S): Pfizer Limited, UK; Pfizer Inc.
SOURCE: PCT Int. Appl., 243 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|--|------|----------|-----------------|----------|
| WO 2005090287 | A2 | 20050929 | WO 2005-IB640 | 20050310 |
| WO 2005090287 | A3 | 20060216 | | |
| W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH,
CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD,
GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC,
LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI,
NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM,
SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM,
AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK,
EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT,
RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML,
MR, NE, SN, TD, TG | | | | |
| EP 1577291 | A1 | 20050921 | EP 2004-290725 | 20040317 |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, PL, SK | | | | |
| AU 2005223488 | A1 | 20050929 | AU 2005-223488 | 20050310 |
| CA 2559203 | A1 | 20050929 | CA 2005-2559203 | 20050310 |
| EP 1727789 | A2 | 20061206 | EP 2005-708731 | 20050310 |
| R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE,
IS, IT, LI, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, AL, BA,
HR, LV, MK, YU | | | | |

| | | | |
|------------------------|------------|------------------|------------|
| CN 1942432 | A 20070404 | CN 2005-80011467 | 20050310 |
| NO 2006003875 | A 20060926 | NO 2006-3875 | 20060830 |
| PRIORITY APPLN. INFO.: | | EP 2004-290725 | A 20040317 |
| | | US 2004-591790P | P 20040727 |
| | | GB 2004-25064 | A 20041112 |
| | | WO 2005-IB640 | W 20050310 |

OTHER SOURCE(S) : MARPAT 143:346908
GI



AB Title compds. I [(CH₂)_n-C(O)Q1 is meta or para; R1 and R2 independently = H or alkyl; n = 0-2; Q1 = mono- or disubstituted amine] and their pharmaceutically acceptable salts, are prepd. and disclosed as agonists of .beta.2 androgen receptor. Thus, e.g., II was prepd. by amidation of (3-{(2R)-2-[(2R)-2-[(tert-butyl(dimethyl)silyloxy)-2-(4-hydroxy-3-hydroxymethyl-phenyl)-ethylamino]-propyl}-phenyl)-acetic acid (prepn. given) with cycloheptylamine followed by deprotection. The agonist potency of I for the .beta.2 androgen receptor was evaluated using CHO cells and it was found that selected compds. of the invention possessed EC₅₀ values in the range of 0.064 up to 0.874 nM. I as .beta.2 androgen receptor agonist should prove useful in the treatment of asthma, bronchitis and chronic obstructive pulmonary disease. Pharmaceutical compns. comprising I are disclosed.

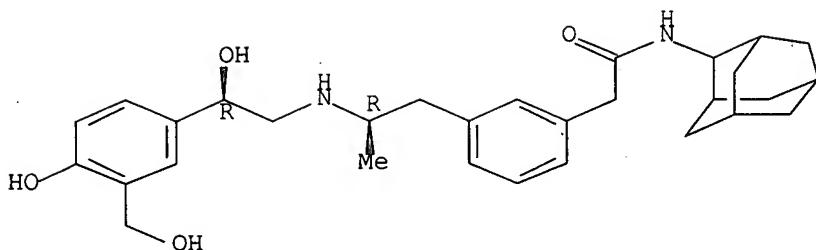
IT 864153-28-6P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. of phenol derivs. as .beta.2 androgen receptor agonists)

RN 864153-28-6 CAPLUS

CN Benzeneacetamide, 3-[(2R)-2-[(2R)-2-hydroxy-2-[4-hydroxy-3-(hydroxymethyl)phenyl]ethyl]amino]propyl]-N-tricyclo[3.3.1.13,7]dec-2-yl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



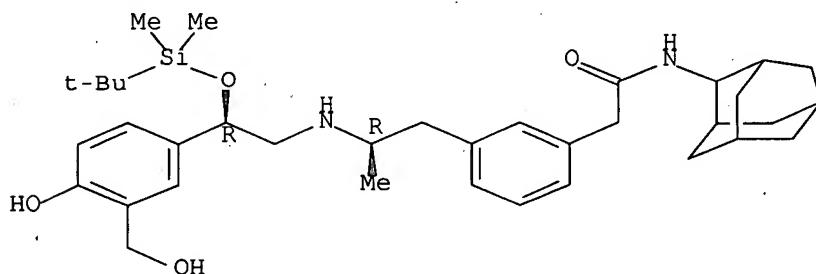
IT 864153-29-7P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
 (prep. of phenol derivs. as .beta.2 androgen receptor agonists)

RN 864153-29-7 CAPLUS

CN Benzeneacetamide, 3-[(2R)-2-[[[(2R)-2-[[[(1,1-dimethylethyl)dimethylsilyl]oxy]-2-[4-hydroxy-3-(hydroxymethyl)phenyl]ethyl]amino]propyl]-N-tricyclo[3.3.1.13,7]dec-2-yl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L4 ANSWER 6 OF 17 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 2005:1020452 CAPLUS Full-text

DOCUMENT NUMBER: 143:286168

TITLE: Phenylethanamine derivatives as beta-2 agonists,
 their preparation and pharmaceutical compositions

PATENT ASSIGNEE(S): Pfizer Limited, UK

SOURCE: Eur. Pat. Appl., 99 pp.

CODEN: EPXXDW

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 2

PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|--|------|----------|-----------------|----------|
| EP 1577291 | A1 | 20050921 | EP 2004-290725 | 20040317 |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, PL, SK | | | | |
| AU 2005223488 | A1 | 20050929 | AU 2005-223488 | 20050310 |
| CA 2559203 | A1 | 20050929 | CA 2005-2559203 | 20050310 |
| WO 2005090287 | A2 | 20050929 | WO 2005-IB640 | 20050310 |
| WO 2005090287 | A3 | 20060216 | | |

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CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD,
GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC,
LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI,
NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM,
SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW

RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM,
AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK,
EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT,
RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML,
MR, NE, SN, TD, TG

EP 1727789 A2 20061206 EP 2005-708731 20050310

R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE,
IS, IT, LI, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, AL, BA,
HR, LV, MK, YU

CN 1942432 A 20070404 CN 2005-80011467 20050310

NL 1028559 A1 20050920 NL 2005-1028559 20050316

NL 1028559 C2 20060419

US 2005234097 A1 20051020 US 2005-83265 20050316

NO 2006003875 A 20060926 NO 2006-3875 20060830

PRIORITY APPLN. INFO.: EP 2004-290725 A 20040317
US 2004-591790P P 20040727
GB 2004-25064 A 20041112
US 2005-642875P P 20050110
WO 2005-IB640 W 20050310

OTHER SOURCE(S) : MARPAT 143:286168

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* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB The invention relates to phenylethanamine derivs. I, which are adrenergic .beta.2 agonists. In compds. I, the (CH₂)_n-C(=O)X group is in the meta or para position; R1 and R2 are independently selected from H and C1-4 alkyl; n is 0-2; and X is mono- or disubstituted amino. The invention also relates to the prepn. of I, pharmaceutical compns. contg. an effective amt. of a compd. I and optionally contg. one or more pharmaceutically acceptable excipients and/or additives, as well as to the use of the compns. for the treatment of inflammatory, allergic, and respiratory diseases. Me (R)-2-(benzyloxy)-5-(2-bromo-1-hydroxyethyl)benzoate was protected with TBDMS chloride and then underwent hydride redn. to give II. Esterification of 3-bromophenylacetic acid followed by tin-mediated coupling with isopropenyl acetate, enantioselective reductive amination with (R)-.alpha.-methylbenzylamine, and hydrogenation resulted in the formation of III. Nucleophilic substitution of II with III followed by debenzylation, ester hydrolysis, amidation with cycloheptylamine, and desilylation gave phenylethanamine IV. The compds. of the invention are agonists of .beta.2 receptors and show good potency with .beta.2 cAMP EC₅₀ below 10 nM.

IT 864153-28-6P, N-2-Adamantyl-2-[3-[(2R)-2-[[[(2R)-2-hydroxy-2-[4-hydroxy-3-(hydroxymethyl)phenyl]ethyl]amino]propyl]phenyl]acetamide
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

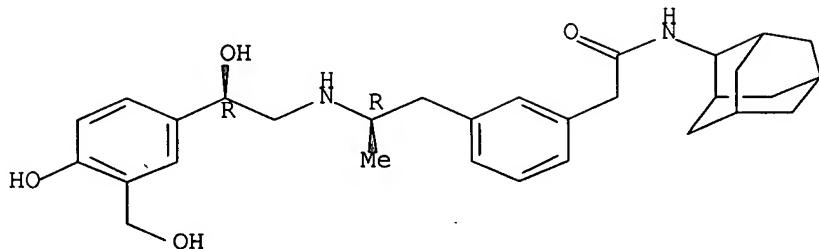
(drug candidate; prepn. of phenylethanamine derivs. as .beta.2 agonists)

RN 864153-28-6 CAPLUS

CN Benzeneacetamide, 3-[(2R)-2-[[[(2R)-2-hydroxy-2-[4-hydroxy-3-(hydroxymethyl)phenyl]ethyl]amino]propyl]-N-tricyclo[3.3.1.13,7]dec-2-yl-

(9CI) (CA INDEX NAME)

Absolute stereochemistry.



IT 864153-29-7P, N-2-Adamantyl-2-[3-[(2R)-2-[(tert-butyl(dimethylsilyl)oxy]-2-[4-hydroxy-3-(hydroxymethyl)phenyl]ethyl]amino]propyl phenylethanamine

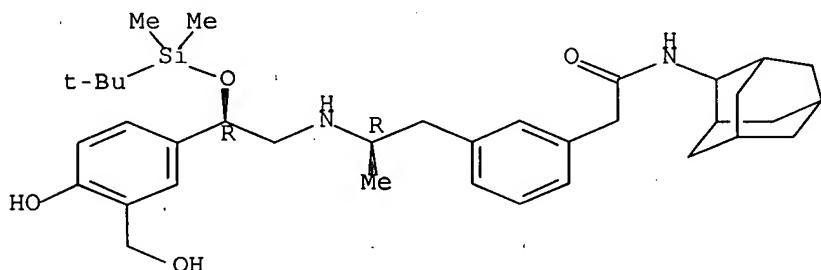
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(intermediate; prepn. of phenylethanamine derivs. as .beta.2 agonists)

RN 864153-29-7 CAPLUS

CN Benzeneacetamide, 3-[(2R)-2-[(2R)-2-[(1,1-dimethylethyl)dimethylsilyl]oxy]-2-[4-hydroxy-3-(hydroxymethyl)phenyl]ethyl]amino]propyl-N-tricyclo[3.3.1.13,7]dec-2-yl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 10 THERE ARE 10 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 7 OF 17 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 2005:443652 CAPLUS Full-text

DOCUMENT NUMBER: 143:133140

TITLE: Synthesis and Identification of Small Molecules that Potently Induce Apoptosis in Melanoma Cells through G1 Cell Cycle Arrest

AUTHOR(S): Dothager, Robin S.; Putt, Karson S.; Allen, Brittany J.; Leslie, Benjamin J.; Nesterenko, Vitaliy; Hergenrother, Paul J.

CORPORATE SOURCE: Department of Chemistry and Department of Biochemistry, Roger Adams Laboratory, University of Illinois, Urbana, IL, 61801, USA

SOURCE: Journal of the American Chemical Society (2005),

127(24), 8686-8696
CODEN: JACSAT; ISSN: 0002-7863

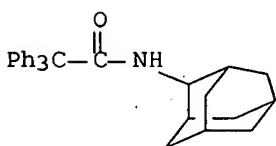
PUBLISHER: American Chemical Society
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 143:133140

AB Late-stage malignant melanoma is a cancer that is refractory to current chemotherapeutic treatments. The av. survival time for patients with such a diagnosis is 6 mo. In general, the vast majority of anticancer drugs operate through induction of cell cycle arrest and cell death in either the DNA synthesis (S) or mitosis (M) phase of the cell cycle. Unfortunately, the same mechanisms that melanocytes possess to protect cells from DNA damage often confer resistance to drugs that derive their toxicity from S or M phase arrest. Described herein is the synthesis of a combinatorial library of potential proapoptotic agents and the subsequent identification of a class of small mols. (triphenylmethyl)amides (TPMAs), e.g. Ph₃C(CH₂)_nCONHR (n = 0, 1; R = alkyl, aralkyl, aryl, etc.), that arrest the growth of melanoma cells in the G1 phase of the cell cycle. Several of these TPMAs are quite potent inducers of apoptotic death in melanoma cell lines (IC₅₀ .apprx. 0.5 .mu.M), and importantly, some TPMAs are comparatively nontoxic to normal cells isolated from the bone marrow of healthy donors. Furthermore, the TPMAs were found to dramatically reduce the level of active nuclear factor .kappa.-B (NF.kappa.B) in the cell; NF.kappa.B is known to be constitutively active in melanoma, and this activity is crit. for the proliferation of melanoma cells and their evasion of apoptosis. Compds. that reduce the level of NF.kappa.B and arrest cells in the G1 phase of the cell cycle can provide insights into the biol. of melanoma and may be effective antimelanoma agents.

IT 851714-63-1P
RL: CPN (Combinatorial preparation); PAC (Pharmacological activity); BIOL (Biological study); CMBI (Combinatorial study); PREP (Preparation)
(combinatorial prepn. of triphenylmethylamides as agents that induce apoptosis in melanoma cells through G1 cell cycle arrest)

RN 851714-63-1 CAPLUS

CN Benzeneacetamide, .alpha.,.alpha.-diphenyl-N-tricyclo[3.3.1.13,7]dec-2-yl- (9CI) (CA INDEX NAME)



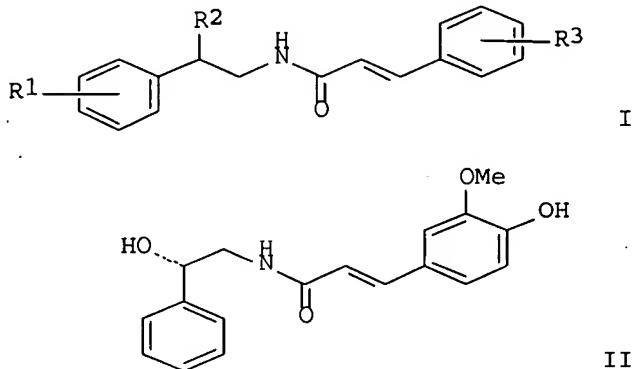
REFERENCE COUNT: 49 THERE ARE 49 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 8 OF 17 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2005:423717 CAPLUS Full-text
DOCUMENT NUMBER: 142:463355
TITLE: A preparation of combinatorial library of phenylacrylamide derivatives, useful for treatment of cancer and modulation of programmed cell death for melanoma
INVENTOR(S): Hergenrother, Paul J.; Nesterenko, Vitaliy; Putt, Karson; Allen, Brittany Joy; Dothager, Robin Shane; Leslie, Benjamin James
PATENT ASSIGNEE(S): The Board of Trustees of the University of Illinois,

USA
 SOURCE: PCT Int. Appl., 112 pp.
 CODEN: PIIXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|--|------|----------|-----------------|------------|
| WO 2005044191 | A2 | 20050519 | WO 2004-US35746 | 20041028 |
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GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC,
LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI,
NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY,
TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM,
AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK,
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SN, TD, TG | | | | |
| US 2005197511 | A1 | 20050908 | US 2004-976186 | 20041027 |
| PRIORITY APPLN. INFO.: | | | US 2003-516556P | P 20031030 |
| | | | US 2004-603246P | P 20040820 |
| | | | US 2004-976186 | A 20041027 |

OTHER SOURCE(S) : MARPAT 142:463355
 GI



AB The invention relates to a prepn. of combinatorial library of phenylacrylamide derivs. of formula I [wherein: R1 is H, one or more halogens, or one or more alkyl, etc.; R2 and R3 are independently H, halogen, halogenated alkyl, or alkoxy, etc.], useful for treatment of cancer and modulation of programmed cell death for melanoma and other cancer cells. For instance, phenylacrylamide II ($IC_{50} = 61 \mu M$) was prep'd. via amidation of (4-hydroxy-3-methoxyphenyl)acrylic acid by (2-hydroxy-2-phenylethyl)amine with a yield of 42%.

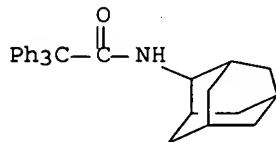
IT 851714-63-1P
 RL: CPN (Combinatorial preparation); PAC (Pharmacological activity); THU

(Therapeutic use); BIOL (Biological study); CMBI (Combinatorial study);
PREP (Preparation); USES (Uses)

(prep. of combinatorial library of phenylacrylamide derivs. useful for
treatment of cancer)

RN 851714-63-1 CAPLUS

CN Benzeneacetamide, .alpha.,.alpha.-diphenyl-N-tricyclo[3.3.1.13,7]dec-2-yl-
(9CI) (CA INDEX NAME)



L4 ANSWER 9 OF 17 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 2004:878302 CAPLUS Full-text

DOCUMENT NUMBER: 141:360694

TITLE: Combination therapy using an 11.beta.-hydroxysteroid dehydrogenase type 1 inhibitor and an antihypertensive agent for the treatment of metabolic syndrome and related diseases and disorders

INVENTOR(S): Kampen, Gita Camilla Tejlgaard; Andersen, Henrik Sune

PATENT ASSIGNEE(S): Novo Nordisk A/S, Den.

SOURCE: PCT Int. Appl., 297 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 7

PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|--|------|----------|-----------------|------------|
| WO 2004089416 | A2 | 20041021 | WO 2004-DK254 | 20040406 |
| WO 2004089416 | A3 | 20050303 | | |
| W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH,
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GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC,
LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI,
NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY,
TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW | | | | |
| RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ,
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SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN,
TD, TG | | | | |
| EP 1615666 | A2 | 20060118 | EP 2004-725887 | 20040406 |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
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| JP 2006522750 | T | 20061005 | JP 2006-504357 | 20040406 |
| US 2006111348 | A1 | 20060525 | US 2005-254125 | 20051011 |
| PRIORITY APPLN. INFO.: | | | DK 2003-565 | A 20030411 |
| | | | DK 2003-566 | A 20030411 |
| | | | DK 2003-567 | A 20030411 |
| | | | DK 2003-569 | A 20030411 |
| | | | DK 2003-570 | A 20030411 |

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|----|--------------|---|----------|
| DK | 2003-571 | A | 20030411 |
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| US | 2003-467362P | P | 20030502 |
| US | 2003-467363P | P | 20030502 |
| US | 2003-467437P | P | 20030502 |
| US | 2003-467453P | P | 20030502 |
| US | 2003-467800P | P | 20030502 |
| DK | 2003-776 | A | 20030522 |
| DK | 2003-777 | A | 20030522 |
| US | 2003-474421P | P | 20030530 |
| US | 2003-475157P | P | 20030602 |
| DK | 2003-972 | A | 20030627 |
| DK | 2003-988 | A | 20030630 |
| DK | 2003-989 | A | 20030630 |
| DK | 2003-990 | A | 20030630 |
| DK | 2003-998 | A | 20030702 |
| US | 2003-486078P | P | 20030710 |
| US | 2003-486094P | P | 20030710 |
| US | 2003-486095P | P | 20030710 |
| US | 2003-486097P | P | 20030710 |
| US | 2003-486098P | P | 20030710 |
| DK | 2003-1910 | A | 20031222 |
| DK | 2004-9 | A | 20040106 |
| US | 2004-537099P | P | 20040116 |
| WO | 2004-DK254 | W | 20040406 |

OTHER SOURCE(S) : MARPAT 141:360694

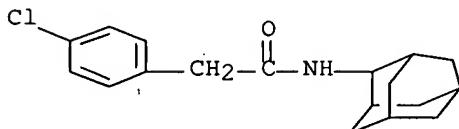
AB The invention discloses combination therapy comprising the administration of an 11. β -hydroxysteroid dehydrogenase type 1 inhibitor and an antihypertensive agent useful for treating, preventing and reducing the risk of developing insulin resistance, dyslipidemia, obesity, hypertension and other related diseases and disorders.

IT 352343-40-9

RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(hydroxysteroid dehydrogenase inhibitor-antihypertensive agent combination for treatment of metabolic syndrome and related conditions)

RN 352343-40-9 CAPLUS

CN Benzeneacetamide, 4-chloro-N-tricyclo[3.3.1.13,7]dec-2-yl- (9CI) (CA INDEX NAME)



L4 ANSWER 10 OF 17 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 2004:878301 CAPLUS Full-text

DOCUMENT NUMBER: 141:360721

TITLE: Combination therapy using an 11. β -hydroxysteroid dehydrogenase type 1 inhibitor and a glucocorticoid receptor agonist to treat cancer and inflammation-associated diseases and to minimize the side effects associated with glucocorticoid receptor agonist therapy

INVENTOR(S) : Kampen, Gita Camilla Tejlgaard; Andersen, Henrik Sune
 PATENT ASSIGNEE(S) : Novo Nordisk A/S, Den.
 SOURCE: PCT Int. Appl., 305 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 7
 PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|--|------|-----------------|-----------------|----------|
| WO 2004089415 | A2 | 20041021 | WO 2004-DK248 | 20040406 |
| WO 2004089415 | A3 | 20050310 | | |
| W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH,
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GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC,
LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI,
NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY,
TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW | | | | |
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SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN,
TD, TG | | | | |
| EP 1615667 | A2 | 20060118 | EP 2004-725890 | 20040406 |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, PL, SK, HR | | | | |
| JP 2006522744 | T | 20061005 | JP 2006-504351 | 20040406 |
| US 2006094699 | A1 | 20060504 | US 2005-246814 | 20051007 |
| PRIORITY APPLN. INFO.: | | | | |
| | | DK 2003-565 | A | 20030411 |
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| | | DK 2003-569 | A | 20030411 |
| | | DK 2003-570 | A | 20030411 |
| | | DK 2003-571 | A | 20030411 |
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| | | DK 2003-776 | A | 20030522 |
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| | | US 2003-475157P | P | 20030602 |
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| | | DK 2003-972 | A | 20030627 |
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| | | US 2003-486098P | P | 20030710 |
| | | DK 2003-1910 | A | 20031222 |
| | | DK 2004-9 | A | 20040106 |
| | | US 2004-537099P | P | 20040116 |
| | | DK 2003-567 | A | 20030411 |
| | | DK 2003-777 | A | 20030522 |

OTHER SOURCE(S) : MARPAT 141:360721

AB The invention discloses combination therapy comprising the administration of an 11. β -hydroxysteroid dehydrogenase type 1 inhibitor and a glucocorticoid receptor agonist for treating some forms of cancer, diseases and disorders having inflammation as a component, and to minimize the side effects assocd. with glucocorticoid receptor agonist therapy.

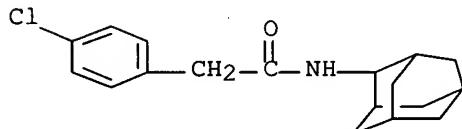
IT 352343-40-9

RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(hydroxysteroid dehydrogenase inhibitor-glucocorticoid agonist combination to treat cancer and inflammation-assocd. diseases and minimize side effects assocd. with glucocorticoid agonist therapy)

RN 352343-40-9 CAPLUS

CN Benzeneacetamide, 4-chloro-N-tricyclo[3.3.1.13;7]dec-2-yl- (9CI) (CA INDEX NAME)



L4 ANSWER 11 OF 17 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 2004:872724 CAPLUS Full-text

DOCUMENT NUMBER: 141:366223

TITLE: Pharmaceutical use of substituted amides as 11. β -hydroxysteroid dehydrogenase type 1 modulators, especially inhibitors, for treating metabolic

INVENTOR(S): Andersen, Henrik Sune; Kampen, Gita Camilla Tejlgaard; Christensen, Inge Thoger; Mogensen, John Patrick; Larsen, Annette Rosendal; Kilburn, John Paul

PATENT ASSIGNEE(S): Novo Nordisk A/S, Den.

SOURCE: PCT Int. Appl., 236 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

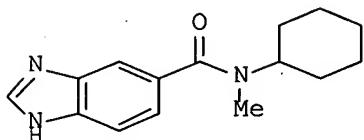
FAMILY ACC. NUM. COUNT: 7

PATENT INFORMATION:

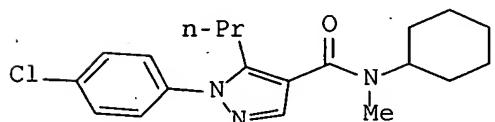
| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---------------|--|----------|-----------------|----------|
| WO 2004089470 | A2 | 20041021 | WO 2004-DK250 | 20040406 |
| WO 2004089470 | A3 | 20041223 | | |
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| RW: | BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, | | | |

TD, TG
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 R: AT, BE, CH, DE, DK; ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
 IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, PL, SK, HR
 JP 2006522746 T 20061005 JP 2006-504353 20040406
 US 2006111366 A1 20060525 US 2005-265794 20051011
 PRIORITY APPLN. INFO.: DK 2003-565 A 20030411
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 DK 2003-990 A 20030630
 DK 2003-998 A 20030702
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 US 2003-486095P P 20030710
 US 2003-486097P P 20030710
 US 2003-486098P P 20030710
 DK 2003-1910 A 20031222
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 US 2004-537099P P 20040116
 WO 2004-DK250 W 20040406

OTHER SOURCE(S) : MARPAT 141:366223
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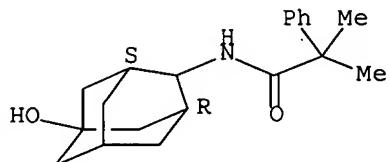
II



III

AB The invention is directed to the use of substituted amides of formula R₃CONR₁R₂ (I), and their optical isomers or mixt. of optical isomers, including racemates, and tautomers, their prodrugs, pharmaceutically acceptable salts, [wherein R₁ = (un)substituted cyclo/hetcyclo/aryl/hetaryl/alkyl, het/aryl, etc.; R₂ = H, (un)substituted aryl/cycloalkyl/alkylcarboxy/alkyl, het/aryl; or R₁R₂ = (un)substituted (un)satd. bi/tricyclic ring contg. 4-10 carbons, and 0-2 heteroatoms; R₃ = (un)substituted cyclo/hetcyclo/aryl/alkyloxy/hetaryl/arylalkyl/alkyl, alkenyl, alkynyl, het/aryl] for modulating, esp. inhibiting, the activity of 11.beta.-hydroxysteroid dehydrogenase type 1 (11.beta.-HSD1) and use of their pharmaceutical compns. in the treatment, prevention, prophylaxis of a range of medical disorders where a decreased intracellular concn. of active glucocorticoid is desirable. The invention is also directed to the prepn. of certain title compds. I. For instance, acylation of 1H-benzimidazole-5-

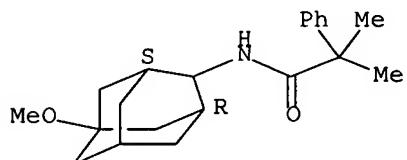
Relative stereochemistry.



RN 718599-63-4 CAPLUS

CN Benzeneacetamide, N-(5-methoxytricyclo[3.3.1.13,7]dec-2-yl)-
.alpha.,.alpha.-dimethyl-, stereoisomer (9CI) (CA INDEX NAME)

Relative stereochemistry.



REFERENCE COUNT: 28 THERE ARE 28 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 13 OF 17 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 2002:354079 CAPLUS Full-text

DOCUMENT NUMBER: 136:355487

TITLE: Preparation of meta-benzamidine derivatives of amino acids or dipeptides as serine protease inhibitors.

INVENTOR(S): Liebeschuetz, John Walter; Wylie, William Alexander; Waszkowycz, Bohdan; Murray, Christopher William; Rimmer, Andrew David; Welsh, Pauline Mary; Jones, Stuart Donald; Roscoe, Jonathan Michael Ernest; Young, Stephen Clinton; Morgan, Phillip John

PATENT ASSIGNEE(S): Tularik Ltd., UK

SOURCE: U.S. Pat. Appl. Publ., 35 pp., Cont.-in-part of U.S. Ser. No. 485,678.

CODEN: USXXCO

DOCUMENT TYPE: Patent

LANGUAGE: English

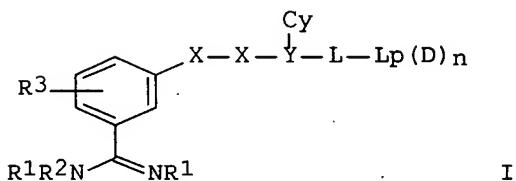
FAMILY ACC. NUM. COUNT: 13

PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---------------|--|----------|-----------------|----------|
| US 2002055522 | A1 | 20020509 | US 2001-988082 | 20011119 |
| US 6740682 | B2 | 20040525 | | |
| WO 9911658 | A1 | 19990311 | WO 1998-GB2605 | 19980828 |
| W: | AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, GM, HR, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW | | | |

RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES,
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 CM, GA, GN, GW, ML, MR, NE, SN, TD, TG
 WO 2000077027 A2 20001221 WO 2000-GB2291 20000613
 WO 2000077027 A3 20010525
 W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR,
 CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU,
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 LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD,
 SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU,
 ZA, ZW
 RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY,
 DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ,
 CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG
 US 2004143018 A1 20040722 US 2004-752568 20040108
 PRIORITY APPLN. INFO.: GB 1997-18392 A 19970829
 GB 1998-3173 A 19980213
 WO 1998-GB2605 W 19980828
 GB 1999-13823 A 19990614
 US 1999-142064P P 19990702
 US 2000-485678 A2 20000225
 WO 2000-GB2291 A2 20000613
 GB 1999-18741 A 19990809
 GB 1999-29552 A 19991214
 GB 1999-29553 A 19991214
 US 2001-988082 A1 20011119

OTHER SOURCE(S): MARPAT 136:355487
 GI



AB Title compds. I [R1, R2 = H, OH, alkoxy, alkyl, aminoalkyl, hydroxyalkyl, alkoxyalkyl, alkoxycarbonyl, acyloxymethoxycarbonyl or alkylamino optionally substituted by hydroxy, alkylamino, alkoxy, oxo, aryl, cycloalkyl; R3 = R1, R2, amino, halo, cyano, nitro, thiol, alkylthio, alkylsulfonyl, alkylsulfenyl, alkylsulfonamido, alkylaminosulfonyl, haloalkoxy, haloalkyl; X = C, N, O, S, CO, CR1, C(R1)2, NR1 with at least one X being C, CO, CR1 or C(R1)2, with the proviso that if the benzimidine group is unsubstituted and the X-X group is -CH2C(R1)2-, then R1 = H or attached to the alkylene carbon atom by a heteroatom; L = org. linker contg. 1-5 backbone atoms selected from C, N, O and S, or a branched alkyl or cyclic group; Y = N, CR1; YL = cyclic group; Cy = (un)satd., (poly)cyclic, (hetero)cyclic group optionally substituted by groups R3 or Ph optionally substituted by R3; Lp = lipophilic alkyl, heterocyclic, alkenyl, alkaryl, (poly)cycloalkyl, cycloalkenyl, aryl, aralkyl, haloalkyl, or a combination of two or more such groups optionally substituted by oxa, oxo, aza, thio, halo, amino, hydroxy or by R3; D = H bond donor group; n = 0-2], or corresponding compds. in which the (un)substituted amidino group R1R2NC(:NR1) is replaced with an (un)substituted aminomethyl group, or their physiol. tolerable salts were prep'd. as serine protease inhibitors useful as

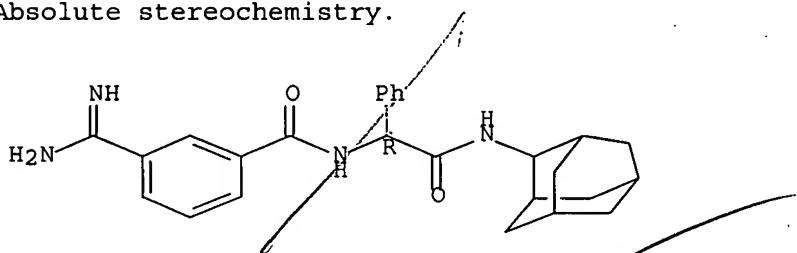
antithrombotic agents. 3-Amidino- and 3-(aminomethyl)benzoyl-D-phenylglycine 4- aminomethylcyclohexylmethylamide are among 190 compds. synthesized.

IT 221235-32-1P
 RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
 (prepn. of meta-benzamidine derivs. of amino acids or dipeptides as serine protease inhibitors)

RN 221235-32-1 CAPLUS

CN Benzeneacetamide, .alpha.-[[3-(aminoiminomethyl)benzoyl]amino]-N-tricyclo[3.3.1.13,7]dec-2-yl-, (.alpha.R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L4 ANSWER 14 OF 17 CAPLUS COPYRIGHT 2007 ACS on STN
 ACCESSION NUMBER: 1999:184269 CAPLUS Full-text
 DOCUMENT NUMBER: 130:237884
 TITLE: Preparation of meta-benzamidine derivatives of amino acids or dipeptides as serine protease inhibitors
 INVENTOR(S): Liebeschuetz, John Walter; Wylie, William Alexander; Waszkowycz, Bohdan; Murray, Christopher William; Rimmer, Andrew David; Welsh, Pauline Mary; Jones, Stuart Donald; Roscoe, Jonathan Michael Ernest; Young, Stephen Clinton; Morgan, Phillip John
 PATENT ASSIGNEE(S): Proteus Molecular Design Ltd., UK
 SOURCE: PCT Int. Appl., 110 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 13
 PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|------|----------|-----------------|----------|
| WO 9911658 | A1 | 19990311 | WO 1998-GB2605 | 19980828 |
| W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE,
DK, EE, ES, FI, GB, GE, GH, GM, HR, HU, ID, IL, IS, JP, KE, KG,
KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX,
NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT,
UA, UG, US, UZ, VN, YU, ZW | | | | |
| RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES,
FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI,
CM, GA, GN, GW, ML, MR, NE, SN, TD, TG | | | | |
| AU 9888757 | A | 19990322 | AU 1998-88757 | 19980828 |
| EP 1009758 | A1 | 20000621 | EP 1998-940430 | 19980828 |
| EP 1009758 | B1 | 20050601 | | |
| R: DE, FR, GB, IT | | | | |
| US 2002055522 | A1 | 20020509 | US 2001-988082 | 20011119 |
| US 6740682 | B2 | 20040525 | | |
| US 2004143018 | A1 | 20040722 | US 2004-752568 | 20040108 |

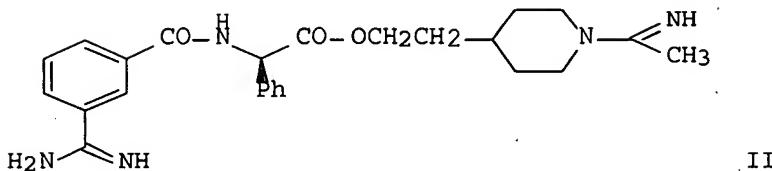
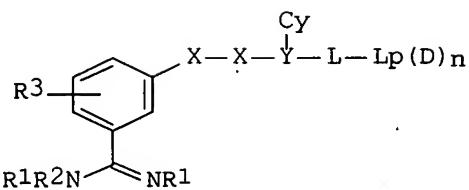
PRIORITY APPLN. INFO.:

| | |
|-----------------|-------------|
| GB 1997-18392 | A 19970829 |
| GB 1998-3173 | A 19980213 |
| WO 1998-GB2605 | W 19980828 |
| GB 1999-13823 | A 19990614 |
| US 1999-142064P | P 19990702 |
| US 2000-485678 | A2 20000225 |
| WO 2000-GB2291 | A2 20000613 |
| US 2001-988082 | A1 20011119 |

OTHER SOURCE(S):

MARPAT 130:237884

GI



AB Title compds. I [R1, R2 = H, OH, alkoxy, alkyl, aminoalkyl, hydroxyalkyl, alkoxyalkyl, alkoxycarbonyl, acyloxymethoxycarbonyl or alkylamino optionally substituted by hydroxy, alkylamino, alkoxy, oxo, aryl, cycloalkyl; R3 = R1, R2, amino, halo, cyano, nitro, thiol, alkylthio, alkylsulfonyl, alkylsulfenyl, alkylsulfonamido, alkylaminosulfonyl, haloalkoxy, haloalkyl; X = C, N, O, S, CO, CR1, C(R1)2, NR1 with at least one X being C, CO, CR1 or C(R1)2, with the proviso that if the benzimidine group is unsubstituted and the X-X group is -CH2C(R1)2-, then R1 = H or attached to the alkylene carbon atom by a heteroatom; L = org. linker contg. 1-5 backbone atoms selected from C, N, O and S, or a branched alkyl or cyclic group; Y = N, CR1; YL = cyclic group; Cy = (un)satd., (poly)cyclic, (hetero)cyclic group optionally substituted by groups R3 or Ph optionally substituted by R3; Lp = lipophilic alkyl, heterocyclic, alkenyl, alkaryl, (poly)cycloalkyl, cycloalkenyl, aryl, aralkyl, haloalkyl, or a combination of two or more such groups optionally substituted by oxa, oxo, aza, thio, halo, amino, hydroxy or by R3; D = H bond donor group; n = 0-2] and their physiol. tolerable salts were prep'd. as serine protease inhibitors useful as antithrombotic agents. Synthesis methodol. for prepg. some I was provided, and common starting materials were Fmoc- or Boc-(D)-phenylglycine and m-amidinobenzoic acid. Descriptions of enzyme assays were given, but no enzyme inhibition data was provided for I. To measure the antithrombotic activity, a partial thromboplastin time test assay was done, and for example, m-amidinobenzoyl-D-phenylglycine ester II (prepn. not given, but 1H NMR characterization data provided), at 1.9 .mu.M concn., doubled the clotting time.

IT 221235-32-1P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study; unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

carboxylic acid with N-cyclohexyl-N-methylamine in THF in the presence of HOBT/EDAC/DIPEA gave amide II in 49% yield. Pyrazole-4-carboxamide (III) inhibited 11. β -HSD1 enzyme with an IC₅₀ = 0.04 μ M. It is useful for treating metabolic disorders, type II diabetes, impaired glucose tolerance, impaired fasting glucose, dyslipidemia, obesity, hypertension, diabetic late complications, neurodegenerative and psychiatric disorders and adverse effects of treatment or therapy with glucocorticoid receptor agonists.

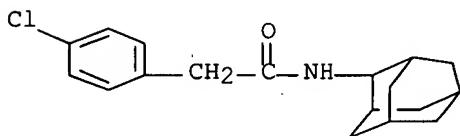
IT 352343-40-9P, N-Adamant-2-yl-2-(4-chlorophenyl)acetamide

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(drug candidate; prepn. of substituted amides as 11. β -hydroxysteroid dehydrogenase type 1 modulators, esp. inhibitors, for treating metabolic disorders, type II diabetes and related diseases)

RN 352343-40-9 CAPLUS

CN Benzeneacetamide, 4-chloro-N-tricyclo[3.3.1.13,7]dec-2-yl- (9CI) (CA INDEX NAME)



Instant

L4 ANSWER 12 OF 17 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 2004:546468 CAPLUS Full-text

DOCUMENT NUMBER: 141:106272

TITLE: Preparation of adamanyl acetamides as hydroxysteroid dehydrogenase inhibitors

INVENTOR(S): Linders, Joannes Theodorus Maria; Willemsens, Gustaaf Henri Maria; Gilissen, Ronaldus Arnodus Hendrika Joseph; Buyck, Christophe Francis Robert Nestor; Vanhoof, Greta Constantia Peter; Van Der Veken, Louis Jozef Elisabeth; Jaroskova, Libuse

PATENT ASSIGNEE(S): Janssen Pharmaceutica N.V., Belg.

SOURCE: PCT Int. Appl., 66 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

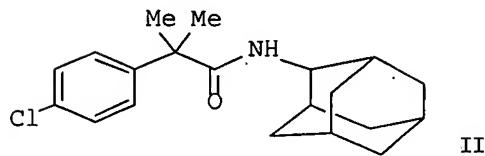
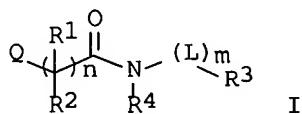
| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|--|------|----------|-----------------|----------|
| WO 2004056744 | A1 | 20040708 | WO 2002-EP14832 | 20021223 |
| W: US | | | | |
| RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR | | | | |
| CA 2508621 | A1 | 20040708 | CA 2003-2508621 | 20031216 |
| WO 2004056745 | A2 | 20040708 | WO 2003-EP51021 | 20031216 |
| WO 2004056745 | A3 | 20041111 | | |
| W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, | | | | |

NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ,
 TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
 RW: BW, GH, GM, KE, LS; MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ,
 BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE,
 ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK,
 TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG
 AU 2003299243 A1 20040714 AU 2003-299243 20031216
 EP 1581476 A2 20051005 EP 2003-799577 20031216
 R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
 IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK
 BR 2003017716 A 20051122 BR 2003-17716 20031216
 CN 1729158 A 20060201 CN 2003-80107278 20031216
 JP 2006511570 T 20060406 JP 2004-561497 20031216
 CN 1915964 A 20070221 CN 2006-10109039 20031216
 IN 2005DN02773 A 20070105 IN 2005-DN2773 20050622
 US 2006079506 A1 20060413 US 2005-540616 20050623
NO 2005003596 A 20050722 NO 2005-3596 20050722
 PRIORITY APPLN. INFO.: WO 2002-EP14832 A 20021223
 GI CN 2003-80107278 A3 20031216
 WO 2003-EP51021 W 20031216

OTHER SOURCE(S) :

MARPAT 141:106272

GI



AB The title compds. I [n = 0-2; m = 0-1; R1, R2 = independently H, C1-4alkyl, (substituted)amino, C1-4alkyloxy, or R1 and R2 taken together with the carbon atom with which they are attached form a C3-6cycloalkyl or when n = 2, either R1 or R2 may be absent to form an unsatd. bond; R3 = a C6-12cycloalkyl, preferably selected from cyclo-octanyl and cyclohexyl, etc.; R4 = H or C1-C4alkyl; Q = (substituted)C3-8cycloalkyl, (substituted)heterocycle or (substituted)carbocyclic; L = (substituted)C1-c4alkyl] were prep'd. as hydroxysteroid dehydrogenase inhibitors for the treatment of diseases, such as obesity, diabetes, dementia, etc. For example, reaction of 2,2-dimethyl-(4-chlorophenyl)acetic acid and 2-aminoadamantane hydrochloride furnished compd. II. The latter inhibited 11.beta.-hydroxysteroid dehydrogenase type 1 and type 2 (11.beta.-HSD1 and 11.beta.-HSD2) activities with pIC₅₀ in the range of 5-6 and <5, resp.

IT 717889-77-5P 717889-82-2P 717889-86-6P

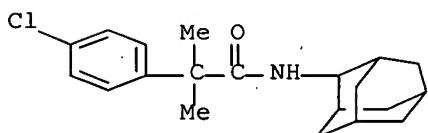
717889-89-9P 717889-90-2P

RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP

(Preparation); RACT (Reactant or reagent); USES (Uses)
(Prepn. of adamantyl acetamides as hydroxysteroid dehydrogenase
inhibitors)

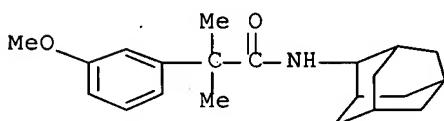
RN 717889-77-5 CAPLUS

CN Benzeneacetamide, 4-chloro-.alpha.,.alpha.-dimethyl-N-
tricyclo[3.3.1.13,7]dec-2-yl- (9CI) (CA INDEX NAME)



RN 717889-82-2 CAPLUS

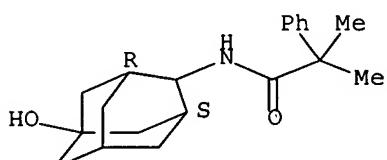
CN Benzeneacetamide, 3-methoxy-.alpha.,.alpha.-dimethyl-N-
tricyclo[3.3.1.13,7]dec-2-yl- (9CI) (CA INDEX NAME)



RN 717889-86-6 CAPLUS

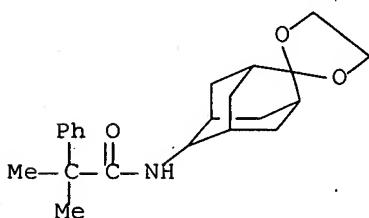
CN Benzeneacetamide, N-(5-hydroxytricyclo[3.3.1.13,7]dec-2-yl)-
.alpha.,.alpha.-dimethyl-, stereoisomer (9CI) (CA INDEX NAME)

Relative stereochemistry.

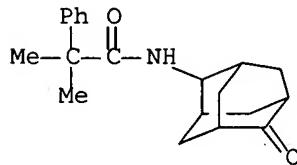


RN 717889-89-9 CAPLUS

CN Benzeneacetamide, .alpha.,.alpha.-dimethyl-N-spiro[1,3-dioxolane-2,2'-
tricyclo[3.3.1.13,7]decyl]-6'-yl- (9CI) (CA INDEX NAME)



RN 717889-90-2 CAPLUS
CN Benzeneacetamide, .alpha.,.alpha.-dimethyl-N-(6-oxotricyclo[3.3.1.13,7]dec-2-yl)- (9CI) (CA INDEX NAME)

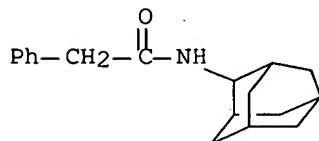


IT 405076-60-0P 433942-93-9P 717889-79-7P
717889-81-1P 717889-83-3P 717889-84-4P
717889-85-5P 717889-87-7P 717889-88-8P
717889-91-3P 717889-96-8P 717889-99-1P
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718599-63-4P

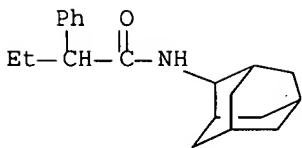
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(Prepn. of adamantyl acetamides as hydroxysteroid dehydrogenase inhibitors)

RN 405076-60-0 CAPLUS
CN Benzeneacetamide, N-tricyclo[3.3.1.13,7]dec-2-yl- (9CI) (CA INDEX NAME)

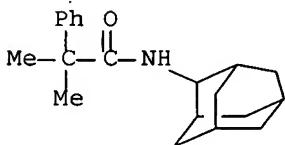


RN 433942-93-9 CAPLUS
CN Benzeneacetamide, .alpha.-ethyl-N-tricyclo[3.3.1.13,7]dec-2-yl- (9CI) (CA INDEX NAME)



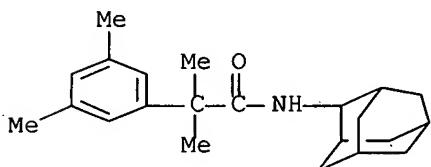
RN 717889-79-7 CAPLUS

CN Benzeneacetamide, ..alpha.,..alpha.-dimethyl-N-tricyclo[3.3.1.13,7]dec-2-yl- (9CI) (CA INDEX NAME)



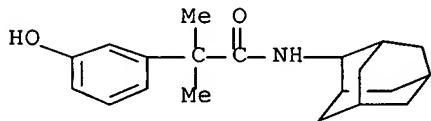
RN 717889-81-1 CAPLUS

CN Benzeneacetamide, .alpha.,.alpha.,3,5-tetramethyl-N-tricyclo[3.3.1.13,7]dec-2-yl- (9CI) (CA INDEX NAME)



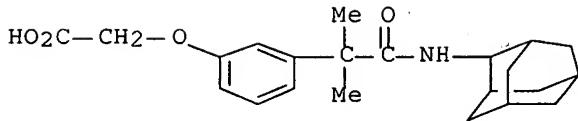
RN 717889-83-3 CAPLUS

CN Benzeneacetamide, 3-hydroxy-.alpha.,.alpha.-dimethyl-N-tricyclo[3.3.1.13,7]dec-2-yl- (9CI) (CA INDEX NAME)



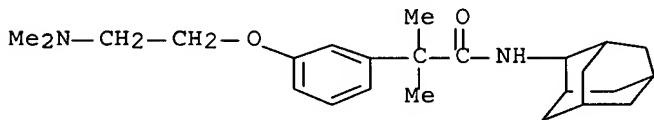
RN 717889-84-4 CAPLUS

CN Acetic acid, [3-[1,1-dimethyl-2-oxo-2-(tricyclo[3.3.1.13,7]dec-2-ylamino)ethyl]phenoxy]- (9CI) (CA INDEX NAME)



RN 717889-85-5 CAPLUS

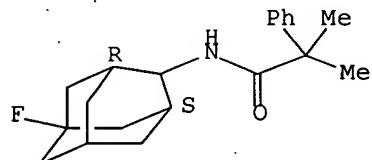
CN Benzeneacetamide, 3-[2-(dimethylamino)ethoxy]-.alpha.,.alpha.-dimethyl-N-tricyclo[3.3.1.13,7]dec-2-yl- (9CI) (CA INDEX NAME)



RN 717889-87-7 CAPLUS

CN Benzeneacetamide, N-(5-fluorotricyclo[3.3.1.13,7]dec-2-yl)-.alpha.,.alpha.-dimethyl-, stereoisomer (9CI) (CA INDEX NAME)

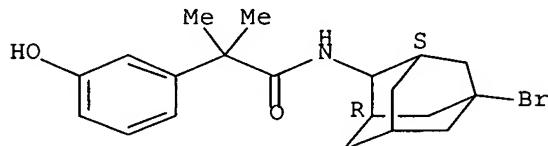
Relative stereochemistry.



RN 717889-88-8 CAPLUS

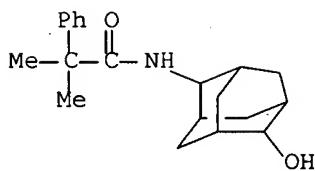
CN Benzeneacetamide, N-(5-bromotricyclo[3.3.1.13,7]dec-2-yl)-3-hydroxy-.alpha.,.alpha.-dimethyl-, stereoisomer (9CI) (CA INDEX NAME)

Relative stereochemistry.



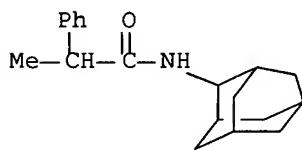
RN 717889-91-3 CAPLUS

CN Benzeneacetamide, N-(6-hydroxytricyclo[3.3.1.13,7]dec-2-yl)-.alpha.,.alpha.-dimethyl- (9CI) (CA INDEX NAME)



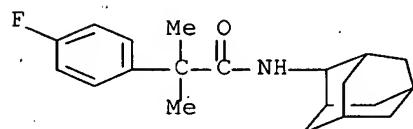
RN 717889-96-8 CAPLUS

CN Benzeneacetamide, .alpha.-methyl-N-tricyclo[3.3.1.13,7]dec-2-yl- (9CI)
(CA INDEX NAME)



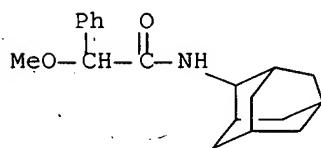
RN 717889-99-1 CAPLUS

CN Benzeneacetamide, 4-fluoro-.alpha.,.alpha.-dimethyl-N-tricyclo[3.3.1.13,7]dec-2-yl- (9CI) (CA INDEX NAME)



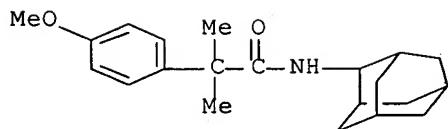
RN 717890-00-1 CAPLUS

CN Benzeneacetamide, .alpha.-methoxy-N-tricyclo[3.3.1.13,7]dec-2-yl- (9CI)
(CA INDEX NAME)



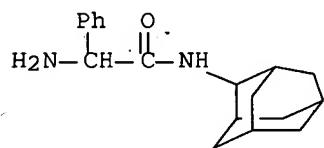
RN 717890-02-3 CAPLUS

CN Benzeneacetamide, 4-methoxy-.alpha.,.alpha.-dimethyl-N-tricyclo[3.3.1.13,7]dec-2-yl- (9CI) (CA INDEX NAME)



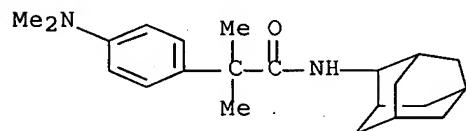
RN 717890-04-5 CAPLUS

CN Benzeneacetamide, .alpha.-amino-N-tricyclo[3.3.1.13,7]dec-2-yl- (9CI) (CA INDEX NAME)



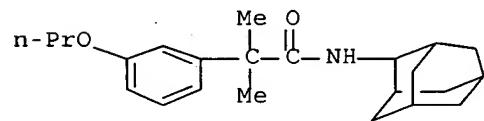
RN 717890-05-6 CAPLUS

CN Benzeneacetamide, 4-(dimethylamino)-.alpha.,.alpha.-dimethyl-N-tricyclo[3.3.1.13,7]dec-2-yl- (9CI) (CA INDEX NAME)



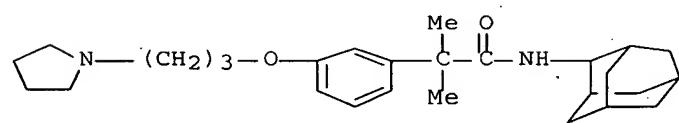
RN 717890-06-7 CAPLUS

CN Benzeneacetamide, .alpha.,.alpha.-dimethyl-3-[3-(1-pyrrolidinyl)propoxy]-N-tricyclo[3.3.1.13,7]dec-2-yl- (9CI) (CA INDEX NAME)

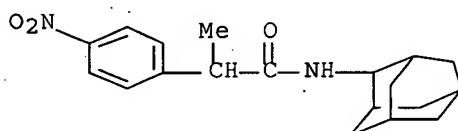


RN 717890-07-8 CAPLUS

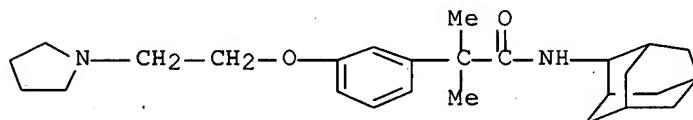
CN Benzeneacetamide, .alpha.,.alpha.-dimethyl-3-[3-(1-pyrrolidinyl)propoxy]-N-tricyclo[3.3.1.13,7]dec-2-yl- (9CI) (CA INDEX NAME)



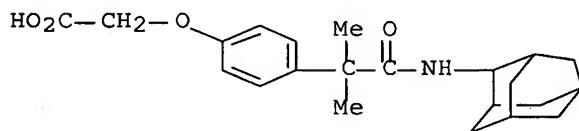
RN 717890-12-5 CAPLUS
CN Benzeneacetamide, .alpha.-methyl-4-nitro-N-tricyclo[3.3.1.13,7]dec-2-yl-
(9CI) (CA INDEX NAME)



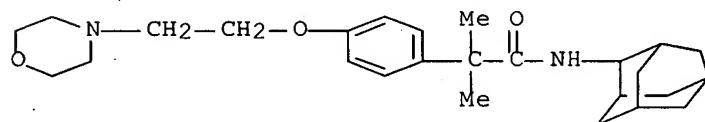
RN 717890-13-6 CAPLUS
CN Benzeneacetamide, .alpha.,.alpha.-dimethyl-3-[2-(1-pyrrolidinyl)ethoxy]-N-tricyclo[3.3.1.13,7]dec-2-yl- (9CI) (CA INDEX NAME)



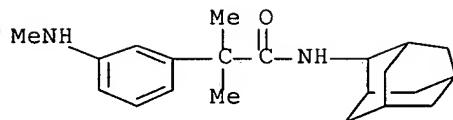
RN 717890-15-8 CAPLUS
CN Acetic acid, [4-[1,1-dimethyl-2-oxo-2-(tricyclo[3.3.1.13,7]dec-2-ylamino)ethyl]phenoxy]- (9CI) (CA INDEX NAME)



RN 717890-16-9 CAPLUS
CN Benzeneacetamide, .alpha.,.alpha.-dimethyl-4-[2-(4-morpholinyl)ethoxy]-N-tricyclo[3.3.1.13,7]dec-2-yl- (9CI) (CA INDEX NAME)

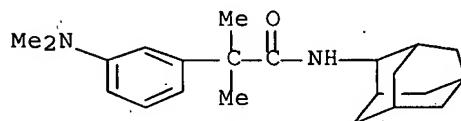


RN 717890-18-1 CAPLUS
CN Benzeneacetamide, .alpha.,.alpha.-dimethyl-3-(methylamino)-N-tricyclo[3.3.1.13,7]dec-2-yl- (9CI) (CA INDEX NAME)



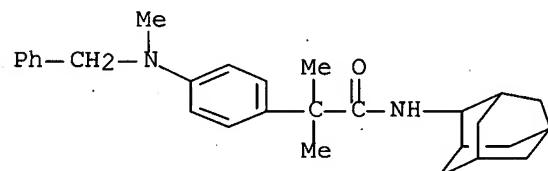
RN 717890-19-2 CAPLUS

CN Benzeneacetamide, 3-(dimethylamino)-.alpha.,.alpha.-dimethyl-N-tricyclo[3.3.1.13,7]dec-2-yl- (9CI) (CA INDEX NAME)



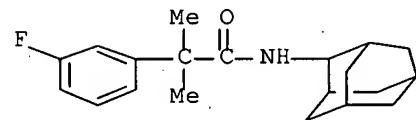
RN 717890-20-5 CAPLUS

CN Benzeneacetamide, .alpha.,.alpha.-dimethyl-4-[methyl(phenylmethyl)amino]-N-tricyclo[3.3.1.13,7]dec-2-yl- (9CI) (CA INDEX NAME)



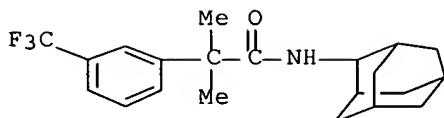
RN 717890-21-6 CAPLUS

CN Benzeneacetamide, 3-fluoro-.alpha.,.alpha.-dimethyl-N-tricyclo[3.3.1.13,7]dec-2-yl- (9CI) (CA INDEX NAME)



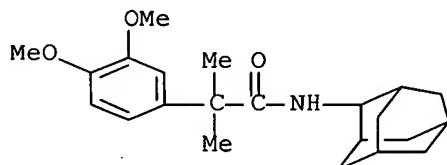
RN 717890-22-7 CAPLUS

CN Benzeneacetamide, .alpha.,.alpha.-dimethyl-N-tricyclo[3.3.1.13,7]dec-2-yl-3-(trifluoromethyl)- (9CI) (CA INDEX NAME)



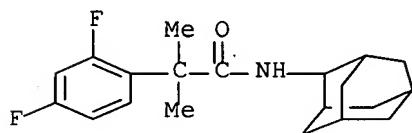
RN 717890-23-8 CAPLUS

CN Benzeneacetamide, 3,4-dimethoxy-.alpha.,.alpha.-dimethyl-N-tricyclo[3.3.1.13,7]dec-2-yl- (9CI) (CA INDEX NAME)



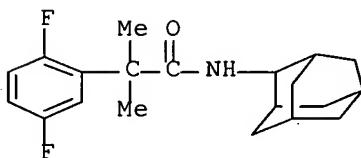
RN 717890-24-9 CAPLUS

CN Benzeneacetamide, 2,4-difluoro-.alpha.,.alpha.-dimethyl-N-tricyclo[3.3.1.13,7]dec-2-yl- (9CI) (CA INDEX NAME)



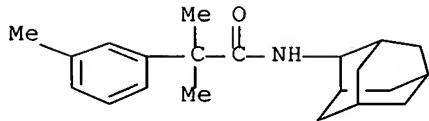
RN 717890-25-0 CAPLUS

CN Benzeneacetamide, 2,5-difluoro-.alpha.,.alpha.-dimethyl-N-tricyclo[3.3.1.13,7]dec-2-yl- (9CI) (CA INDEX NAME)



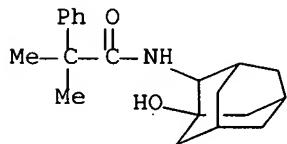
RN 717890-26-1 CAPLUS

CN Benzeneacetamide, .alpha.,.alpha.,3-trimethyl-N-tricyclo[3.3.1.13,7]dec-2-yl- (9CI) (CA INDEX NAME)



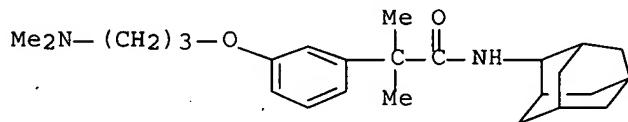
RN 717890-27-2 CAPLUS

CN Benzeneacetamide, N-(1-hydroxytricyclo[3.3.1.13,7]dec-2-yl)-.alpha.,.alpha.-dimethyl- (9CI) (CA INDEX NAME)



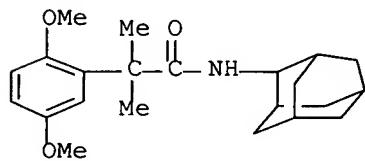
RN 717890-28-3 CAPLUS

CN Benzeneacetamide, 3-[3-(dimethylamino)propoxy]-.alpha.,.alpha.-dimethyl-N-tricyclo[3.3.1.13,7]dec-2-yl- (9CI) (CA INDEX NAME)



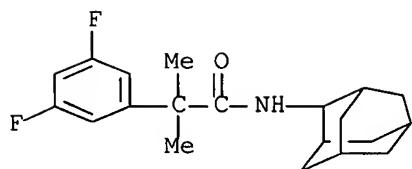
RN 717890-29-4 CAPLUS

CN Benzeneacetamide, 2,5-dimethoxy-.alpha.,.alpha.-dimethyl-N-tricyclo[3.3.1.13,7]dec-2-yl- (9CI) (CA INDEX NAME)



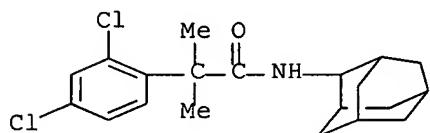
RN 717890-30-7 CAPLUS

CN Benzeneacetamide, 3,5-difluoro-.alpha.,.alpha.-dimethyl-N-tricyclo[3.3.1.13,7]dec-2-yl- (9CI) (CA INDEX NAME)



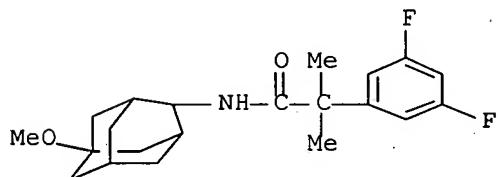
RN 717890-31-8 CAPLUS

CN Benzeneacetamide, 2,4-dichloro-.alpha.,.alpha.-dimethyl-N-tricyclo[3.3.1.13,7]dec-2-yl- (9CI) (CA INDEX NAME)



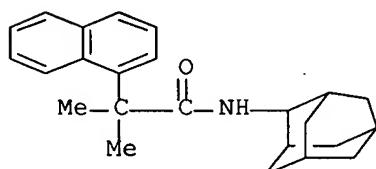
RN 717890-32-9 CAPLUS

CN Benzeneacetamide, 3,5-difluoro-N-(5-methoxytricyclo[3.3.1.13,7]dec-2-yl)-.alpha.,.alpha.-dimethyl- (9CI) (CA INDEX NAME)



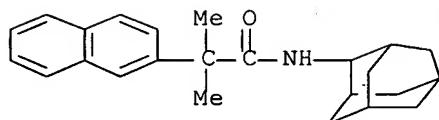
RN 717890-38-5 CAPLUS

CN 1-Naphthaleneacetamide, .alpha.,.alpha.-dimethyl-N-tricyclo[3.3.1.13,7]dec-2-yl- (9CI) (CA INDEX NAME)



RN 717890-39-6 CAPLUS

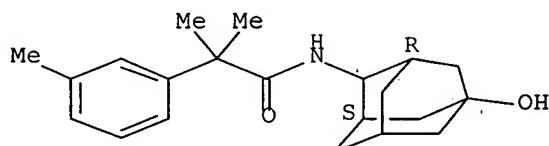
CN 2-Naphthaleneacetamide, .alpha.,.alpha.-dimethyl-N-tricyclo[3.3.1.13,7]dec-2-yl- (9CI) (CA INDEX NAME)



RN 717890-45-4 CAPLUS

CN Benzeneacetamide, N-(5-hydroxytricyclo[3.3.1.13,7]dec-2-yl)-.alpha.,.alpha.,3-trimethyl-, stereoisomer (9CI) (CA INDEX NAME)

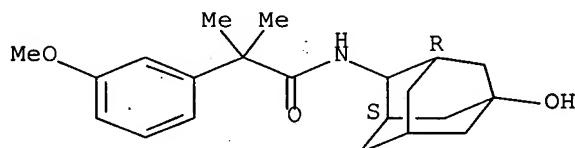
Relative stereochemistry.



RN 717890-46-5 CAPLUS

CN Benzeneacetamide, N-(5-hydroxytricyclo[3.3.1.13,7]dec-2-yl)-3-methoxy-.alpha.,.alpha.-dimethyl-, stereoisomer (9CI) (CA INDEX NAME)

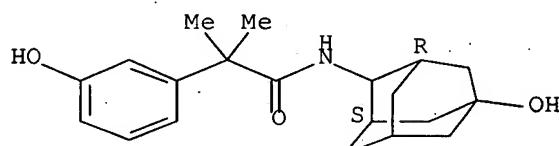
Relative stereochemistry.



RN 717890-47-6 CAPLUS

CN Benzeneacetamide, 3-hydroxy-N-(5-hydroxytricyclo[3.3.1.13,7]dec-2-yl)-.alpha.,.alpha.-dimethyl-, stereoisomer (9CI) (CA INDEX NAME)

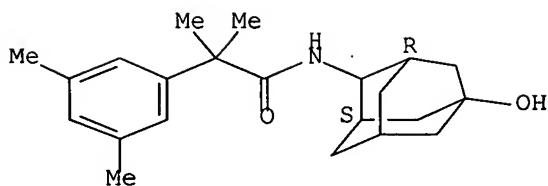
Relative stereochemistry.



RN 717890-48-7 CAPLUS

CN Benzeneacetamide, N-(5-hydroxytricyclo[3.3.1.13,7]dec-2-yl)-.alpha.,.alpha.,3,5-tetramethyl-, stereoisomer (9CI) (CA INDEX NAME)

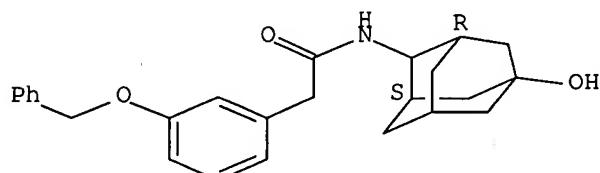
Relative stereochemistry.



RN 717890-50-1 CAPLUS

CN Benzeneacetamide, N-(5-hydroxytricyclo[3.3.1.13,7]dec-2-yl)-3-(phenylmethoxy)-, stereoisomer (9CI) (CA INDEX NAME)

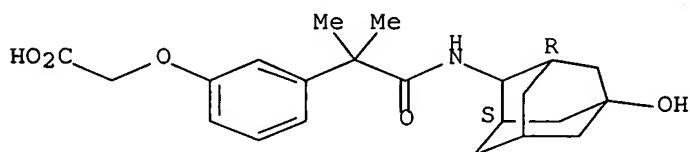
Relative stereochemistry.



RN 717890-51-2 CAPLUS

CN Acetic acid, [3-[2-[(5-hydroxytricyclo[3.3.1.13,7]dec-2-yl)amino]-1,1-dimethyl-2-oxoethyl]phenoxy]-, stereoisomer (9CI) (CA INDEX NAME)

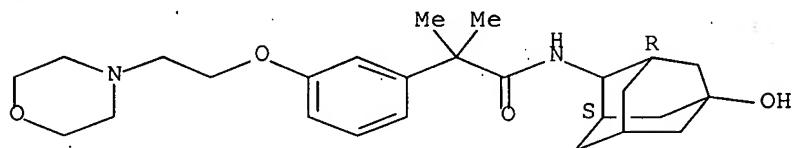
Relative stereochemistry.



RN 717890-52-3 CAPLUS

CN Benzeneacetamide, N-(5-hydroxytricyclo[3.3.1.13,7]dec-2-yl)-alpha.,.alpha.-dimethyl-3-[2-(4-morpholinyl)ethoxy]-, stereoisomer (9CI) (CA INDEX NAME)

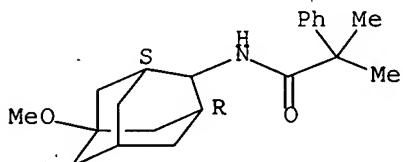
Relative stereochemistry.



RN 717890-53-4 CAPLUS

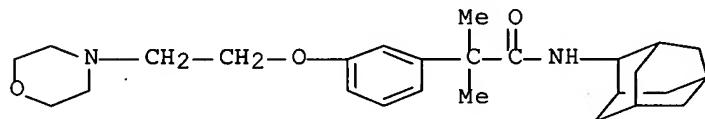
CN Benzeneacetamide, N-(5-methoxytricyclo[3.3.1.13,7]dec-2-yl)-.alpha.,.alpha.-dimethyl-, stereoisomer (9CI) (CA INDEX NAME)

Relative stereochemistry.



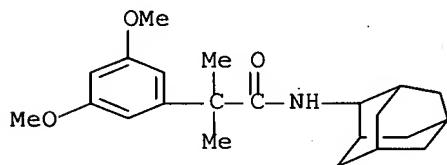
RN 717890-54-5 CAPLUS

CN Benzeneacetamide, .alpha.,.alpha.-dimethyl-3-[2-(4-morpholinyl)ethoxy]-N-tricyclo[3.3.1.13,7]dec-2-yl- (9CI) (CA INDEX NAME)



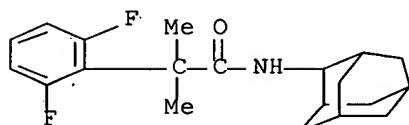
RN 717890-55-6 CAPLUS

CN Benzeneacetamide, 3,5-dimethoxy-.alpha.,.alpha.-dimethyl-N-tricyclo[3.3.1.13,7]dec-2-yl- (9CI) (CA INDEX NAME)



RN 717890-57-8 CAPLUS

CN Benzeneacetamide, 2,6-difluoro-.alpha.,.alpha.-dimethyl-N-tricyclo[3.3.1.13,7]dec-2-yl- (9CI) (CA INDEX NAME)



RN 718599-62-3 CAPLUS

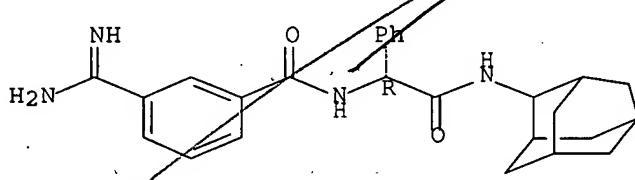
CN Benzeneacetamide, N-(5-hydroxytricyclo[3.3.1.13,7]dec-2-yl)-.alpha.,.alpha.-dimethyl-, stereoisomer (9CI) (CA INDEX NAME)

(prepn. of meta-benzamidine derivs. of amino acids or dipeptides as serine protease inhibitors)

RN 221235-32-1 CAPLUS

CN Benzeneacetamide, .alpha.-[[3-(aminoiminomethyl)benzoyl]amino]-N-tricyclo[3.3.1.13,7]dec-2-yl-, (.alpha.R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



on phenyl ring

REFERENCE COUNT:

12

THERE ARE 12 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

art 103 - OH VS

L4 ANSWER 15 OF 17 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 1991:246982 CAPLUS Full-text

DOCUMENT NUMBER: 114:246982

TITLE: Preparation of arylcarboxamides for promoting formation of human nerve growth factor (NGF).

INVENTOR(S): Naruto, Shunji; Matsuda, Keiichi; Sugano, Yuichi; Sugimoto, Masahiko

PATENT ASSIGNEE(S): Sankyo Co., Ltd., Japan

SOURCE: Eur. Pat. Appl., 25 pp.

CODEN: EPXXDW

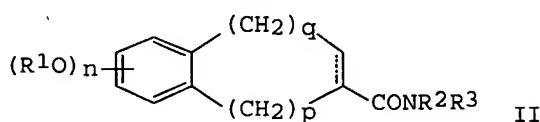
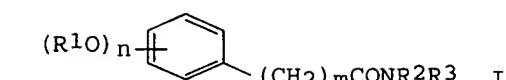
DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|--------|------------|-----------------|------------|
| EP 399814 | A2 | 19901128 | EP 1990-305633 | 19900523 |
| EP 399814 | A3 | 19920108 | | |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE | | | | |
| JP 03086853 | A | 19910411 | JP 1990-119755 | 19900511 |
| CA 2017287 | A1 | 19901123 | CA 1990-2017287 | 19900522 |
| DD 299424 | A5 | 19920416 | DD 1990-340912 | 19900522 |
| RU 2022961 | C1 | 19941115 | RU 1990-4743989 | 19900522 |
| CN 1048030 | A | 19901226 | CN 1990-103242 | 19900523 |
| HU 54108 | A2 | 19910128 | HU 1990-3164 | 19900523 |
| HU 208111 | B | 19930830 | | |
| JP 03163053 | A | 19910715 | JP 1990-206008 | 19900803 |
| PRIORITY APPLN. INFO.: | | | JP 1989-129344 | A 19890523 |
| | | | JP 1989-204222 | A 19890807 |
| OTHER SOURCE(S): | MARPAT | 114:246982 | | |
| GI | | | | |



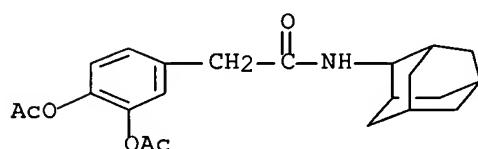
AB Title compds. I ($R^1 = H$, HO-protecting group; $R^2 = \text{alkyl, cycloalkyl, cycloalkyl}$ condensed with aryl, aryl, aralkyl, heterocyclyl; $R^3 = H, R^2; R^2R^3N = \text{cyclic amino}$; $m = 1-6$; $n = 1-3$) and II (R^1-R^3 and n as before; $p, y = 0-3$), were prep'd. for promoting NGF prodn. and secretion. $2,5-\text{Cl}_2\text{C}_6\text{H}_3\text{NH}_2$ and pyridine in CH_2Cl_2 were treated with $3,4-(\text{AcO})_2\text{C}_6\text{H}_3\text{CH}_2\text{CH}_2\text{COCl}$ with ice cooling under stirring to give I [$R^1O)_n = 3,4-(\text{AcO})_2$; $m = 3$; $R^2 = 2,5-\text{Cl}_2\text{C}_6\text{H}_3$; $R^3 = H$] (III). In a test for promotion of secretion of NGF III showed a rel. value of 201% vs. epinephrine 140%. Addnl. 95 I and II were prep'd. and showed excellent activity in promoting NGF prodn. and secretion. Capsule formulations contg. 2 specific I are given.

IT 134122-91-1P

RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of, as promoter of human nerve growth factor formation)

RN 134122-91-1 CAPLUS

CN Benzeneacetamide, 3,4-bis(acetyloxy)-N-tricyclo[3.3.1.13,7]dec-2-yl- (9CI)
(CA INDEX NAME)



L4 ANSWER 16 OF 17 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 1988:150442 CAPLUS Full-text

DOCUMENT NUMBER: 108:150442

TITLE: Correlation between chemical constitution and sweet taste. Malondiamides and analogs

AUTHOR(S): De Nardo, M.; Collino, F.

CORPORATE SOURCE: Ist. Chim. Farm. Tossicol., Univ. Trieste, Trieste, Italy

SOURCE: Bollettino Chimico Farmaceutico (1987), 126(3), 109-15

CODEN: BCFAAI; ISSN: 0006-6648

DOCUMENT TYPE: Journal

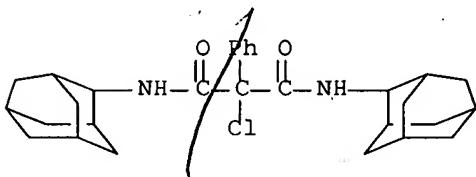
LANGUAGE: Italian

AB 2-Chloromalondiamide derivs. and analogs have been synthesized by reaction between chloride and substituted malondiamides and analogs in chloroform. The n -alkyl substituted derivs. are nearly all sweet-tasting; secondary amides (cyclic or not) are tasteless, but one is slightly bitter; aralkyl derivs. are bitter.

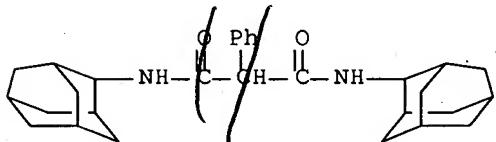
IT 113708-80-8P

RL: PRP (Properties); SPN (Synthetic preparation); PREP (Preparation)

(prep. and sweetness of)
RN 113708-80-8 CAPLUS
CN Propanediamide, 2-chloro-2-phenyl-N,N'-bis(tricyclo[3.3.1.13,7]dec-2-yl)-
(9CI) (CA INDEX NAME)



IT 113708-74-0P
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn., taste, and chlorination of)
RN 113708-74-0 CAPLUS
CN Propanediamide, 2-phenyl-N,N'-bis(tricyclo[3.3.1.13,7]dec-2-yl)- (9CI)
(CA INDEX NAME)



L4 ANSWER 17 OF 17 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 1972:3518 CAPLUS Full-text
DOCUMENT NUMBER: 76:3518
TITLE: Aryl-substituted .alpha.-lactams
AUTHOR(S): Talaty, Erach R.; Utermoehlen, Clifford M.; Stekoll,
Louis H.
CORPORATE SOURCE: Dep. Chem., Wichita State Univ., Wichita, KS, USA
SOURCE: Synthesis (1971), (10), 543-4
CODEN: SYNTBF; ISSN: 0039-7881

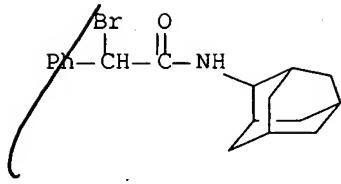
DOCUMENT TYPE: Journal

LANGUAGE: English

GI For diagram(s), see printed CA Issue.

AB The lactam (I, R = 2-adamantyl) (II) was prep'd. and its stability compared with that of I (R = 1-adamantyl) (III). Thus, PhCH₂COCl was treated with Br in boiling CCl₄. The crude PhCHBrCOCl was treated with 2-aminoadamantane to give the .alpha.-bromoamide (IV). Treatment of IV with tert-BuOK in dry ether at 0.degree. yielded II. III was similarly prep'd.

IT 34655-02-2P
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)
RN 34655-02-2 CAPLUS
CN Benzeneacetamide, .alpha.-bromo-N-tricyclo[3.3.1.13,7]dec-2-yl- (9CI) (CA INDEX NAME)



=>

---Logging off of STN---

=>

Executing the logoff script...

=> LOG Y

| COST IN U.S. DOLLARS | SINCE FILE ENTRY | TOTAL SESSION |
|--|------------------|---------------|
| FULL ESTIMATED COST | 90.06 | 262.37 |
| DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS) | SINCE FILE ENTRY | TOTAL SESSION |
| CA SUBSCRIBER PRICE | -13.26 | -13.26 |

STN INTERNATIONAL LOGOFF AT 09:54:07 ON 07 MAY 2007